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(FILE 'HOME' ENTERED AT 17:21:02 ON 10 MAY 2007)

FILE 'REGISTRY' ENTERED AT 17:21:13 ON 10 MAY 2007
L1      1 S CITALOPRAM OXALATE/CN

FILE 'REGISTRY' ENTERED AT 17:21:41 ON 10 MAY 2007

FILE 'ZCAPLUS' ENTERED AT 17:22:38 ON 10 MAY 2007
L2      17 S L1
L3      0 S L2 AND CRYSTAL?
L4      0 S L2 AND POLYMOR?

FILE 'REGISTRY' ENTERED AT 17:24:39 ON 10 MAY 2007
L5      1 S ESCITALOPRAM/CN
L6      1 S ESCITALOPRAM OXALATE/CN

FILE 'REGISTRY' ENTERED AT 17:26:48 ON 10 MAY 2007

FILE 'STNGUIDE' ENTERED AT 17:27:28 ON 10 MAY 2007

FILE 'ZCAPLUS' ENTERED AT 17:29:52 ON 10 MAY 2007
L7      72 S L6
L8      2 S L7 AND (CRYSTL? OR POLYMORPH? OR (X (W)RAY))

=> s 17 not 18
L9      70 L7 NOT L8

=> s 19 and wo2003011278/pn
      1 WO2003011278/PN
      (WO2003011278/PN)
L10     1 L9 AND WO2003011278/PN

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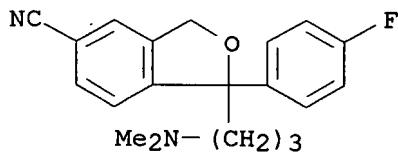
=> s citalopram oxalate/cn  
L1 1 CITALOPRAM OXALATE/CN

=> d

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN  
RN 207559-01-1 REGISTRY  
ED Entered STN: 24 Jun 1998  
CN 5-Isobenzofurancarbonitrile, 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN (±)-Citalopram oxalate  
CN Citalopram oxalate  
MF C20 H21 F N2 O . C2 H2 O4  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, USPAT2, USPATFULL

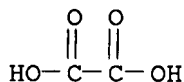
CM 1

CRN 59729-33-8  
CMF C20 H21 F N2 O



CM 2

CRN 144-62-7  
CMF C2 H2 O4



17 REFERENCES IN FILE CA (1907 TO DATE)  
17 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FILE REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	7.35	7.56

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STRUCTURE FILE UPDATES: 9 MAY 2007 HIGHEST RN 934521-72-9

DICTIONARY FILE UPDATES: 9 MAY 2007 HIGHEST RN 934521-72-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when  
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REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> STR 207559-01-1

207559-01-1 MAY NOT BE USED AS A MODEL

COMPONENTS

144-62-7 C2 H2 O4

59729-33-8 C20 H21 F N2 O

ENTER NAME OF STRUCTURE TO BE RECALLED (NONE):END

=>

THIS FEATURE IS NOT AVAILABLE FOR THE SELECTED CAS RN

=> fil zcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.90

8.46

FILE 'ZCAPLUS' ENTERED AT 17:22:38 ON 10 MAY 2007

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FILE COVERS 1907 - 10 May 2007 VOL 146 ISS 20

FILE LAST UPDATED: 9 May 2007 (20070509/ED)

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This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> s 11

L2 17 L1

=> d bib abs 1-17

L2 ANSWER 1 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:194068 ZCAPLUS

DN 144:274127

TI Process for preparation of citalopram and its enantiomers via acid or base cyclization of the diol

IN Periyandi, Nagarajan; Kilaru, Srinivasu; Thennati, Rajamannar

PA Sun Pharmaceutical Industries Limited, India

SO PCT Int. Appl., 31 pp.

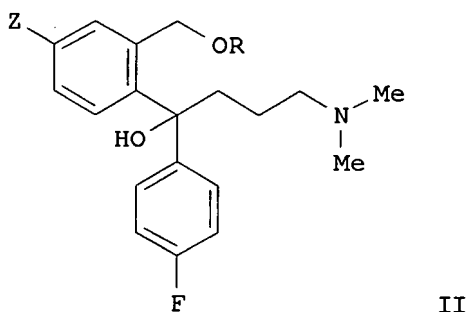
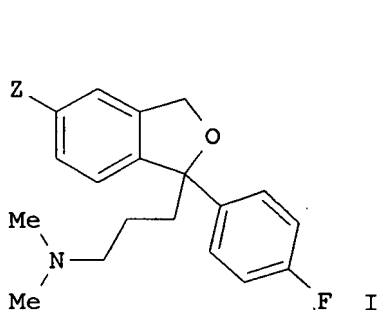
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006021971	A2	20060302	WO 2005-IN276	20050812
	WO 2006021971	A3	20060713		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	IN 2004MU00912	A	20070420	IN 2004-MU912	20040823
PRAI	IN 2004-MU912	A	20040823		
OS	MARPAT 144:274127				
GI					



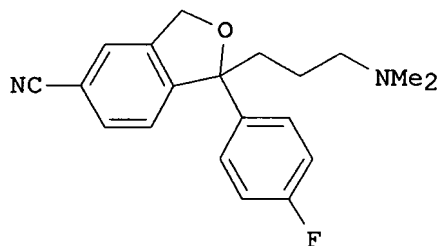
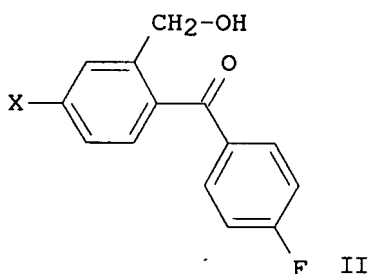
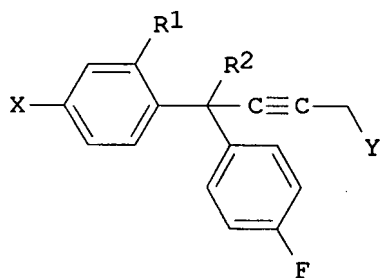
AB The invention provides a process for preparation of 1-[3-(dimethylamino)propyl]-

1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile I (Z = CN; citalopram) and its enantiomers. The process for preparation of compound I comprising reacting a compound of formula II (R = H), in the presence of a base, with a compound of formula RX, wherein R is (un)substituted alkyl, (un)substituted alkenyl, and (un)substituted (hetero)aryl; X is from F, Cl, Br, I, CN, OTf and OR1; R1 is (un)substituted alkyl; Z is CN or a group that may be converted to a cyano group; so that an intermediate ether derivative, where R is as defined above, is formed from said reaction, which ether cyclizes to give a compound of formula I, where Z is not a cyano group, and conversion of the group Z in the compound of formula I to a cyano

group to form racemic I (Z = CN), is claimed in this invention. The invention also provides ether compds., compds. of formula II and a process for preparation thereof. (S)-(+)-Citropram, i.e., (S)-(+)-I (Z = CN) was prepared by nucleophilic aromatic substitution of 2,5-dichloronitrobenzene with (S)-(-)-II (Z = CN; R = H) to give the corresponding benzylic Ph ether, that was converted to its HCl salt, and cyclized in the presence of potassium carbonate to give (S)-(+)-I.

L2 ANSWER 2 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:1045059 ZCAPLUS  
 DN 143:346931  
 TI Process for the preparation of citalopram and its intermediates  
 IN Ikemoto, Tetsuya; Watanabe, Yosuke  
 PA Sumitomo Pharmaceutical Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 57 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2005263741	A	20050929	JP 2004-81592	20040319
	WO 2005090290	A1	20050929	WO 2005-JP5466	20050317
	W:				
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	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	JP 2004-81592	A	20040319		
OS	MARPAT 143:346931				
GI					



III

AB A process for the preparation of title compds. of formula I [R1 = CH2OH; R2 = OH; or R1R2 = -CH2O-; X = CN, CHO, halo, etc.; Y = dialkylamino, NO2, halo, etc.] comprising reacting a compound of formula II (X is defined as above) with a compound of formula M-C.tplbond.CCH2Y1 (M = Li, Na, MgCl, etc.; Y1 = dialkylamino, nitro or OR; R = (un)substituted heterocyclyl, alkyl, aralkyl or silyl) is disclosed. For example, reaction of II (X = CN) with LiC.tplbond.CCH2OTHP (73%), followed by intramol. cyclization, mesylation, substitution with dimethylamine, provided I [R1R2 = -CH2O-, X = CN, Y = NMe2], which was introduced to citalopram base III after redn (54%, 4 steps). This invention offered a production method for the preparation of citalopram, which is an important antidepressant.

L2 ANSWER 3 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:31412 ZCAPLUS

DN 142:141428

TI Quantitative analysis of S-citalopram oxalate by chiral liquid chromatography

AU Yang, Xue-mei; Liu, Xu; Yan, Yi-chen; Xu, Jiang-ping

CS Department of Chemistry, First Military Medical University, Guangzhou, 510515, Peop. Rep. China

SO Zhongguo Xinyao Zazhi (2004), 13(11), 1020-1021

CODEN: ZXZHA6; ISSN: 1003-3734

PB Zhongguo Xinyao Zazhishe

DT Journal

LA Chinese

AB An HPLC method for the separation of enantiomers of citalopram oxalate and determination of S-citalopram was presented. The chromatog. conditions were as follows: a Chirobiotic V column (250mm d 4.6mm, 5am), methanol-acetic acid-triethylamine (100:0.1:0.1) as a mobile phase, detection wavelength at 240 nm, the column temperature at 20oC and flow rate at 1.0 mL/mine . The enantiomers of citalopram oxalate was successfully separated The linearity of S-citalopram was 10-150 gumLes with a regression coefficient at 0.999 1 (n=5). Conclusion: This quant. anal. of S-citalopram oxalate is attained.

L2 ANSWER 4 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:1079731 ZCAPLUS

DN 142:56160

TI process for purification of citalopram by hydrogenolysis halogenated isobenzofuran impurities

IN Borase, Ashok Punju; Patel, Nileshkumar Sureshbai; Kilaru, Srinivasu; Thennati, Rajamannar

PA Sun Pharmaceuticals Industries Ltd., India

SO Eur. Pat. Appl., 17 pp.

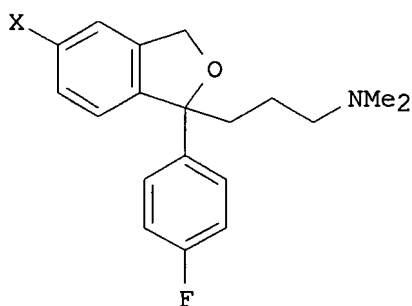
CODEN: EPXXDW

DT Patent

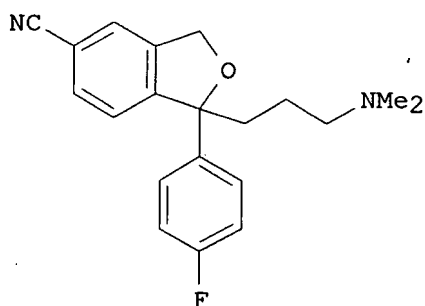
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1486492	A2	20041215	EP 2004-291424	20040608
	EP 1486492	A3	20050223		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	IN 2003MU00602	A	20050211	IN 2003-MU602	20030610
	US 2005004380	A1	20050106	US 2004-865139	20040608
	US 7019153	B2	20060328		
PRAI	IN 2003-MU602	A	20030610		
OS	MARPAT 142:56160				
GI					



I



II

AB The present invention provides a process for decreasing the content of halogenated isobenzofuran impurities I (X = halo) in citalopram (II) by hydrogenolysis to I (X = H). Thus, 5 g crude citalopram base containing 4.84% of bromo impurity I (X = Br) is dissolved in 50 mL EtOAc, 0.1 g Pd/C and 0.1 g sodium hypophosphite added and the mixture refluxed for 2 h. Anal. showed that the bromo impurity I (X = Br) is absent.

L2 ANSWER 5 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:691476 ZCAPLUS

DN 141:207048

TI Preparation of pure citalopram

IN Kaushik, Vipin Kumar; Rao, Divvela Venkata Naga Srinivasa; Handa, Vijay Kumar; Sivakumaran, Meenakshisunderam

PA Aurobindo Pharma Ltd., India

SO U.S., 3 pp.

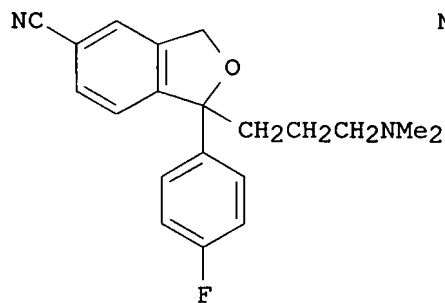
CODEN: USXXAM

DT Patent

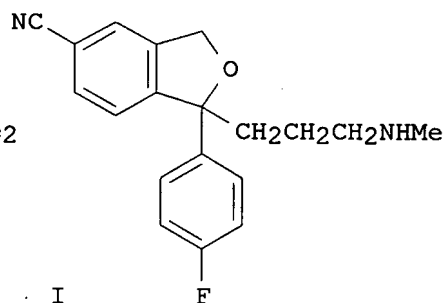
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6781003	B1	20040824	US 2003-456135	20030609
PRAI	US 2003-456135		20030609		
OS	CASREACT 141:207048				
GI					



I



II

AB The present invention relates to an industrially advantageous method for the purification of citalopram (I) wherein desmethyl citalopram (II), present in crude citalopram as an impurity, is methylated to produce pure citalopram I. The resulting citalopram product I is isolated as the base

or a pharmaceutically acceptable salt thereof. Thus, to crude citalopram (90 g, 0.28 mol) containing desmethyl citalopram (7 %, HPLC), formic acid (98%, 2.7 g) was added followed by aqueous formaldehyde (35%, 2.37 g). The reaction mass was heated at 85-95° for 30 min, cooled to 30°, and diluted with ethanol (900 mL), treated with oxalic acid dihydrate (41.94 g, 0.33 mol), and heated to reflux. The obtained solution was cooled to 20-25° and stirring was continued for 2 h at 20-25°, followed by collecting the product by filtration and recrystn. from ethanol to give highly pure 92 g crystalline citalopram oxalate having HPLC purity 99.7% wherein desmethyl citalopram (impurity) was not detected.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 6 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:331827 ZCAPLUS  
DN 140:357194  
TI Process for the manufacture of citalopram hydrobromide from 5-bromophthalide  
IN Chodankar, Nandkumar; Bhobe, Ajit; Oak, G. M.; Eappan, Philip  
PA Sekhsaria Chemicals Limited, India  
SO U.S. Pat. Appl. Publ., 8 pp.  
CODEN: USXXCO  
DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004077870	A1	20040422	US 2002-277451	20021022
	US 6812355	B2	20041102		
PRAI	US 2002-277451		20021022		

OS CASREACT 140:357194; MARPAT 140:357194

AB Disclosed is a process for the preparation of 1-(4-fluorophenyl)-1-(3-dimethylamino-propyl)-5-phthalanecarbonitrile (citalopram) (known antidepressant) or a pharmaceutically acceptable salt thereof, comprising performing two successive Grignard reactions on 5-bromophthalide using p-fluorobromobenzene and then N,N-dimethylaminopropylmagnesium chloride, wherein the 5-bromophthalide is reacted with the first Grignard reagent in the presence of a Lewis acid, so reducing byproduct formation and improving yields.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 7 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:172971 ZCAPLUS  
DN 138:221462  
TI Improved process for the manufacture of citalopram hydrobromide from 5-bromophthalide  
PA Sekhsaria Chemicals Ltd., India  
SO Eur. Pat. Appl., 15 pp.  
CODEN: EPXXDW

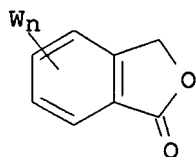
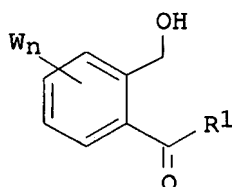
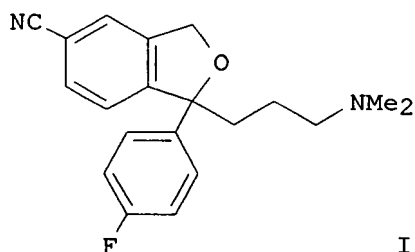
DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1288211	A1	20030305	EP 2002-255750	20020819
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PRAI	US 2001-315391P	P	20010828		

OS CASREACT 138:221462; MARPAT 138:221462  
GI





AB A process for the preparation of 1-(4'-fluorophenyl)-1-(3-dimethylamino-propyl)-5-phthalanecarbonitrile (I), or a pharmaceutically acceptable salt thereof, comprising performing two successive Grignard reactions on 5-bromophthalide, wherein the 5-bromophthalide is reacted with the first Grignard reagent in the presence of a Lewis acid, so reducing byproduct formation and improving yields. Also claimed is a process for the preparation of aryl ketone II [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, aralkyl, optionally containing one heteroatom; W = halogen, CN, OH, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, aralkyl; n = 0 - 4] which comprises the step of reacting a phthalide III with a Grignard reagent, R1MgY (Y = halogen) and is characterized in that the phthalide is reacted with a Lewis acid to form an adduct prior to reaction with the Grignard reagent. Thus,.

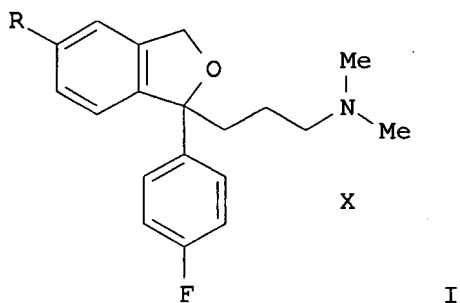
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 8 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:32670 ZCAPLUS  
DN 138:55856  
TI Process for the preparation of highly pure salts of citalopram  
IN Satyanarayana, Chava; Venkata, Ramana Rao Chunchu; Jyothi, Basu Abbineni; Hari, Babu Bobepudi  
PA Matrix Laboratories Limited, India  
SO Brit. UK Pat. Appl., 18 pp.  
CODEN: BAXXDU  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	GB 2375763	A	20021127	GB 2002-10225	20020503
	GB 2375763	B	20030924		

CA 2444940	A1	20030904	CA 2002-2444940	20020418
WO 2003072565	A1	20030904	WO 2002-IB3832	20020418
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002367728	A1	20030909	AU 2002-367728	20020418
BR 2002009194	A	20040608	BR 2002-9194	20020418
CN 1509279	A	20040630	CN 2002-809801	20020418
EP 1478635	A1	20041124	EP 2002-806883	20020418
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005518445	T	20050623	JP 2003-571271	20020418
NZ 529070	A	20060224	NZ 2002-529070	20020418
GB 2387596	A	20031022	GB 2003-15853	20020503
GB 2387596	B	20040211		
GB 2387844	A	20031029	GB 2003-15852	20020503
GB 2387844	B	20050511		
ZA 2003008115	A	20040705	ZA 2003-8115	20031017
PRAI GB 2002-4607	A	20020227		
WO 2002-IB3832	W	20020418		
GB 2002-10225	A	20020503		

GI



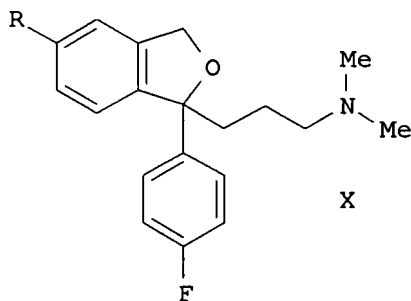
AB A process for preparing highly pure salts of citalopram, such as I (R = CN; X = oxalate, hydrobromide, hydrochloride), for pharmaceutical compns. was described. Thus, citalopram contaminated with up to 5.0% of desmethyl citalopram was added to acetone and stirred for 15 min at 40° followed by addn of oxalic acid to form citalopram oxalate in 85% yield with desmethyl citalopram content <0.1%.

L2 ANSWER 9 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2003:8116 ZCAPLUS  
 DN 138:55857  
 TI Process for the preparation of citalopram  
 IN Hamied, Yusuf Khwaja; Kankan, Rajendra Narayanrao; Rao, Dharmaraj Ramachandra  
 PA Cipla Limited, India  
 SO Brit. UK Pat. Appl., 11 pp.  
 CODEN: BAXXDU  
 DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2376945	A	20021231	GB 2001-15708	20010627
PRAI	GB 2001-15708		20010627		
OS	CASREACT 138:55857; MARPAT 138:55857				
GI					



AB An improved process for the preparation of citalopram via substitution of the halogen of halophthalane salts I (R = halogen; X = oxalate, fumarate, maleate, citrate, acetate, formate, hydrochloride, hydrobromide, sulfate) using cuprous cyanide in an organic solvent. Thus, bromophthalane oxalate I (R = Br, X = oxalate) was reacted CuCN in diglyme under a nitrogen atmospheric at 150-155° for 3 h to form citalopram which was converted to its HBr salt I (R = CN, X = HBr).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 10 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:550141 ZCAPLUS

DN 137:78852

TI Preparation of citalopram from 5-carboxyphthalide and Grignard derivatives of 4-halofluorobenzenes and 3-dimethylaminopropyl halides.

IN Dancer, Robert; Petersen, Hans; Ahmadian, Haleh

PA H. Lundbeck A/S, Den.

SO Patentschrift (Switz.), 11 pp.

CODEN: SWXXAS

DT Patent

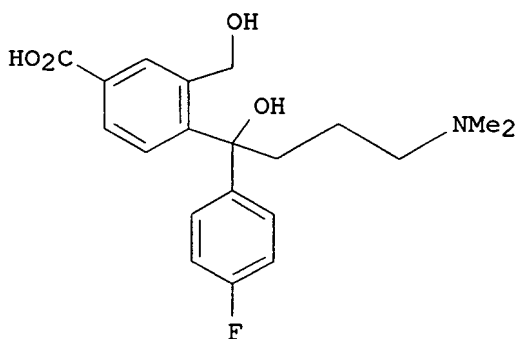
LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 691968	A5	20011215	CH 2001-1521	20010816
	CA 2354880	A1	20020122	CA 2001-2354880	20010809
	CA 2354880	C	20030603		
	CA 2354877	A1	20020218	CA 2001-2354877	20010809
	CA 2354877	C	20060502		
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	FI 2001001622	A	20020219	FI 2001-1622	20010809
	IL 144816	A	20050925	IL 2001-144816	20010809
	HU 200103291	A2	20020529	HU 2001-3291	20010810
	IT 2001MI1785	A1	20020218	IT 2001-MI1785	20010813
	IT 2001MI1786	A1	20020218	IT 2001-MI1786	20010813

HU 200103295	A2	20020529	HU 2001-3295	20010813
IN 194521	A1	20041113	IN 2001-MA665	20010813
GB 2362647	A	20011128	GB 2001-19733	20010814
GB 2362647	B	20020918		
ZA 2001006687	A	20020214	ZA 2001-6687	20010814
DK 2001001216	A	20020219	DK 2001-1216	20010814
DK 2001001219	A	20020219	DK 2001-1219	20010814
NO 2001003942	A	20020219	NO 2001-3942	20010814
NO 2001003943	A	20020219	NO 2001-3943	20010814
GB 2365865	A	20020227	GB 2001-19734	20010814
GB 2365865	B	20020717		
US 2002025982	A1	20020228	US 2001-930107	20010814
US 6426422	B2	20020730		
US 2002026062	A1	20020228	US 2001-930110	20010814
US 6509483	B2	20030121		
WO 2002016341	A1	20020228	WO 2001-DK541	20010814
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GR 2001100398	A	20020524	GR 2001-100398	20010814
GR 1004074	B2	20021126		
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EP 1309581	A1	20030514	EP 2001-957785	20010814
EP 1309581	B1	20041103		
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JP 2004506730	T	20040304	JP 2002-521443	20010814
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NZ 523877	A	20040827	NZ 2001-523877	20010814
AT 281447	T	20041115	AT 2001-957785	20010814
AT 281448	T	20041115	AT 2001-957786	20010814
PT 1309581	T	20050331	PT 2001-957785	20010814
PT 1309582	T	20050331	PT 2001-957786	20010814
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ES 2230347	T3	20050501	ES 2001-1957785	20010814
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AU 2001100271	B4	20011129		

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CZ 295863	B6	20051116	CZ 2001-2959	20010815
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AU 2001100278	B4	20011129		
NL 1018775	C1	20011024	NL 2001-1018775	20010816
NL 1018776	C1	20011024	NL 2001-1018776	20010816
BE 1013443	A6	20020115	BE 2001-548	20010816
FR 2813077	A1	20020222	FR 2001-10855	20010816
FR 2813077	B1	20040820		
FR 2813078	A1	20020222	FR 2001-10857	20010816
FR 2813078	B1	20040402		
DE 10140028	A1	20020418	DE 2001-10140028	20010816
DE 10140029	A1	20020502	DE 2001-10140029	20010816
CN 1339435	A	20020313	CN 2001-133947	20010817
CN 1339436	A	20020313	CN 2001-133948	20010817
BR 2001004841	A	20020604	BR 2001-4841	20010817
ES 2170734	A1	20020801	ES 2001-1919	20010817
ES 2170735	A1	20020801	ES 2001-1920	20010817
CN 1515564	A	20040728	CN 2004-10001871	20010817
IN 194535	A1	20041113	IN 2001-MA680	20010817
BE 1013444	A6	20020115	BE 2001-550	20010820
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HK 1044538	A1	20060707	HK 2002-106176	20020822
HK 1047086	A1	20050422	HK 2002-106522	20020904
HK 1068069	A1	20060922	HK 2005-100254	20020904
BG 107583	A	20040130	BG 2003-107583	20030224
BG 107584	A	20040130	BG 2003-107584	20030224
IN 2003CH00968	A	20051230	IN 2003-CH968	20031125
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WO 2001-DK541	W	20010814		
WO 2001-DK542	W	20010814		
HK 2002-106522	A	20020904		
OS CASREACT 137:78852				
GI				



II

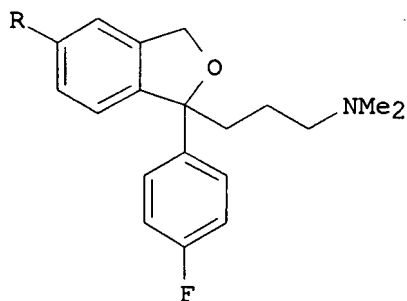
AB Citalopram (I) was prepared by reaction of 5-carboxyphthalide and Grignard derivs. of 4-halofluorobenzenes and 3-dimethylaminopropyl halides to give diol intermediate (II) followed by cyclization of II and conversion of the resulting carboxycitalopram to I. Thus, 5-carboxyphthalide in THF was treated sequentially with tetramethylethylenediamine, p-fluorophenylmagnesium bromide and MgBr<sub>2</sub> in THF, and 3-dimethylaminopropylmagnesium bromide in THF/heptane to give 5-carboxycitalopram of >80% purity. The latter was heated with sulfamide and SOCl<sub>2</sub> in sulfolane for 2 h at 130° to give citalopram of >97%

purity.

L2 ANSWER 11 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN  
AN 2001:592319 ZCAPLUS  
Correction of: 2001:386023  
DN 135:137393  
Correction of: 134:353251  
TI Method for the preparation of citalopram  
IN Petersen, Hans; Rock, Michael Harold  
PA H Lundbeck A/S, Den.  
SO Brit. UK Pat. Appl., 15 pp.  
CODEN: BAXXDU  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	GB 2354240	A	20010321	GB 2001-1508	19991119
	GB 2354240	B	20010523		
	IT 99MI1579	A1	20010115	IT 1999-MI1579	19990715
	WO 2000011926	A2	20000309	WO 1999-DK643	19991119
	WO 2000011926	A3	20000629		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1105382	A2	20010613	EP 1999-968206	19991119
	EP 1105382	B1	20020213		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	DE 19983486	T0	20011018	DE 1999-19983486	19991119
	DE 19983486	C2	20020905		
	AU 2001100433	A4	20011101	AU 2001-2001100433	19991119
	AU 2001100433	B4	20020117		
	HU 200103417	A2	20020128	HU 2001-3417	19991119
	AT 213237	T	20020215	AT 1999-968206	19991119
	BR 9917367	A	20020305	BR 1999-17367	19991119
	AT 9909040	A	20020515	AT 1999-9040	19991119
	AT 409960	B	20021227		
	TR 200103700	T2	20020521	TR 2001-200103700	19991119
	JP 2002523432	T	20020730	JP 2000-567065	19991119
	JP 3389571	B2	20030324		
	PT 1105382	T	20020731	PT 1999-968206	19991119
	ES 2172356	T3	20020916	ES 1999-968206	19991119
	CZ 292174	B6	20030813	CZ 2001-319	19991119
	CN 1129593	B	20031203	CN 1999-816768	19991119
	NZ 514982	A	20040130	NZ 1999-514982	19991119
	CA 2290125	A1	20001225	CA 1999-2290125	19991122
	CA 2290125	C	20040810		
	NO 2001000318	A	20010220	NO 2001-318	20010119
	SE 2001000194	A	20010425	SE 2001-194	20010124
	SE 516689	C2	20020212		
	FI 2001000154	A	20010209	FI 2001-154	20010125
	FI 108538	B1	20020215		
	IN 2001CN00149	A	20050304	IN 2001-CN149	20010201
	ZA 2001007956	A	20020927	ZA 2001-7956	20010927
	ZA 2001008855	A	20020611	ZA 2001-8855	20011026

US 2002061925	A1	20020523	US 2001-12025	20011106
US 6750358	B2	20040615		
BG 106190	A	20020830	BG 2001-106190	20011207
ZA 2002005023	A	20030623	ZA 2002-5023	20020621
HK 1047745	A1	20040910	HK 2002-109330	20021224
PRAI DK 1999-921	A	19990625		
WO 1999-DK643	W	19991119		
OS CASREACT 135:137393; MARPAT 135:137393				
GI				



AB A method for preparing the antidepressant, citalopram [I; R = CN], by reacting an isobenzofuranpropanamine [I; R = Cl or Br] with a cyanide source in the presence of a nickel catalyst is presented. Citalopram is produced in high yield as a very pure product using this catalytic process. Thus, sequential addition of I (R = Cl) and NaCN to the Ni catalyst formed by reflux of NiCl<sub>2</sub> with PPh<sub>3</sub> in AcCN in the presence of a catalytic amount of Zn, followed by workup and treatment with oxalic acid, gave citalopram oxalate in 55% yield.

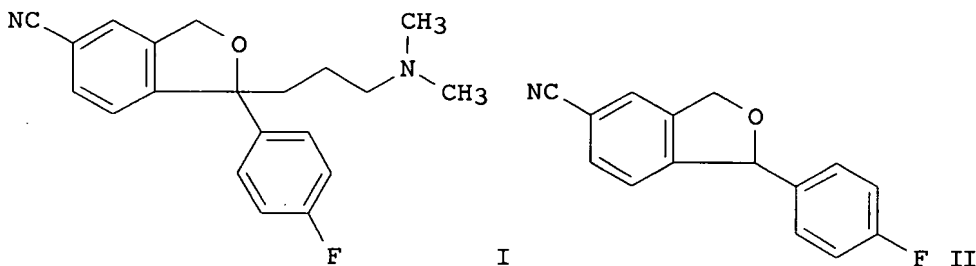
L2 ANSWER 12 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2001:526066 ZCAPLUS  
 DN 135:107242  
 TI Method for the preparation of citalopram  
 IN Rock, Michael Harold; Ahmadian, Haleh  
 PA H. Lundbeck A/S, Den.  
 SO PCT Int. Appl., 15 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001051478	A1	20010719	WO 2001-DK140	20010301
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	NL 1017417	C1	20010316	NL 2001-1017417	20010221
	CA 2401374	A1	20010719	CA 2001-2401374	20010301
	EP 1263750	A1	20021211	EP 2001-911454	20010301
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	HU 200300060	A2	20030528	HU 2003-60	20010301

BR 2001009022	A	20030603	BR 2001-9022	20010301
JP 2003519692	T	20030624	JP 2001-551860	20010301
NZ 521200	A	20040326	NZ 2001-521200	20010301
BE 1011481	A6	20010703	BE 2001-143	20010302
FR 2805814	A1	20010907	FR 2001-2896	20010302
GR 1003795	B1	20020208	GR 2001-100106	20010305
ZA 2002006846	A	20030827	ZA 2002-6846	20020827
US 2003092761	A1	20030515	US 2002-232994	20020829
US 6768011	B2	20040727		
NO 2002004180	A	20020902	NO 2002-4180	20020902
BG 107050	A	20030530	BG 2002-107050	20020902
IN 2002CN01544	A	20050128	IN 2002-CN1544	20020925
PRAI DK 2000-353	A	20000303		
WO 2001-DK140	W	20010301		
OS CASREACT 135:107242; MARPAT 135:107242				
GI				



AB The antidepressant compound citalopram (I) is prepared by the reaction of a dihydrobenzofuran (II) with  $R(CH_2)_3R_1$  ( $R$  = halogen,  $OSO_2X$ ;  $X$  = alkyl, alkenyl, aryl arylalkyl;  $R_1$  = dimethylamino, halogen  $OSO_2X$ ; such that  $R \neq R_1 = NMe_2$ ) followed by isolation of citalopram base or a pharmaceutically acceptable acid addition salt (e.g., citalopram oxalate).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 13 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:452790 ZCAPLUS

DN 135:61223

TI Preparation of citalopram from 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile.

IN Rock, Michael Harold; Ahmadian, Haleh

PA H. Lundbeck A/S, Den.

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent

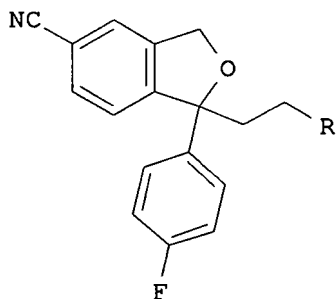
LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2001043525	A3	20020131		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				



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ES 2195554	T3	20031201	ES 1999-913120	19990414
NL 1017414	C1	20010315	NL 2001-1017414	20010221
NL 1017415	C1	20010518	NL 2001-1017415	20010221
FR 2805812	A1	20010907	FR 2001-2339	20010221
FR 2805813	A1	20010907	FR 2001-2341	20010221
BE 1012921	A6	20010508	BE 2001-118	20010222
CA 2401236	A1	20010621	CA 2001-2401236	20010222
AU 200135358	A	20010625	AU 2001-35358	20010222
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WO 2001062754	A1	20010830	WO 2001-DK122	20010222
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HU 200300212	A2	20030628	HU 2003-212	20010222
JP 2003523955	T	20030812	JP 2001-544478	20010222
JP 2003524009	T	20030812	JP 2001-562536	20010222
CN 1608057	A	20050420	CN 2001-805556	20010222
BE 1011177	A6	20010703	BE 2001-126	20010223
US 2001027256	A1	20011004	US 2001-794762	20010226
US 6420574	B2	20020716		
US 2002004604	A1	20020110	US 2001-794755	20010226
GR 2001100123	A	20021122	GR 2001-100123	20010313
GR 1004072	B2	20021202		
ZA 2002006255	A	20031020	ZA 2002-6255	20020806
NO 2002003928	A	20020819	NO 2002-3928	20020819
BG 107015	A	20030530	BG 2002-107015	20020820
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BG 107061	A	20030530	BG 2002-107061	20020904
IN 2002CN01483	A	20050128	IN 2002-CN1483	20020918
IN 2002CN01512	A	20050128	IN 2002-CN1512	20020923
US 2003114692	A1	20030619	US 2002-286407	20021101
HK 1054378	A1	20050429	HK 2003-106541	20030911
PRAI DK 2000-296	A	20000224		
DK 2000-401	A	20000313		
EP 1999-913120	A	19990414		
WO 2001-DK122	W	20010222		
WO 2001-DK123	W	20010222		
US 2001-794755	A1	20010226		
OS CASREACT 135:61223; MARPAT 135:61223				



II

AB Citalopram was prepared by reaction of 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile (I) with  $XCH_2CH_2R$  ( $X$  = leaving group;  $R$  =  $CH_2OPg$ ,  $CH_2NPg_1Pg_2$ ,  $CONMe_2$ , etc.;  $Pg$ ,  $Pg_1$ ,  $Pg_2$  = protecting group) to give intermediate (II) followed by conversion of the  $R$  group to form a dimethylaminomethyl group and isolation. Thus, I in THF was added to LDA in THF at  $-78^\circ$  followed by stirring for 30 min;  $PhCH_2O(CH_2)_3Br$  in THF was added followed by warming to room temperature and stirring for 2 h to give 60% 1-[(3-benzyloxy)propyl]-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile. The latter was refluxed 2 days with 1,4-cyclohexadiene and  $Pd/C$  in EtOH to give 80% 1-(4-fluorophenyl)-1-(3-hydroxypropyl)-1,3-dihydroisobenzofuran-5-carbonitrile. This was converted to the tosylate (42%) which was heated with  $Et_3N$  and  $Me_2NH.HCl$  in DMF at  $70^\circ$  overnight to give 70% citalopram as the oxalate.

L2 ANSWER 14 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:175646 ZCAPLUS

DN 132:194283

TI Method for the preparation of citalopram

IN Petersen, Hans; Rock, Michael Harold; Svane, Henrik

PA H. Lundbeck A/S, Den.

SO PCT Int. Appl., 13 pp.

CODEN: PIXXD2

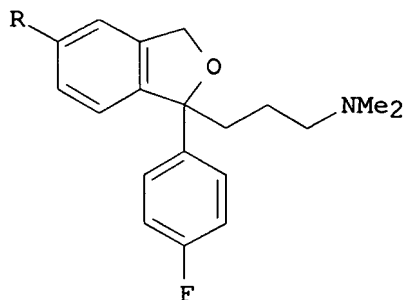
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000013648	A2	20000316	WO 1999-DK640	19991122
	WO 2000013648	A3	20000713		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	IT 99MI1581	A1	20010115	IT 1999-MI1581	19990715
	ES 2169709	A1	20020701	ES 2001-50056	19991025
	JP 2003012663	A	20030115	JP 2002-106016	19991025
	CN 1550497	A	20041201	CN 2003-2003165033	19991025
	AU 200013745	A	20000327	AU 2000-13745	19991122
	CA 2290127	A1	20001225	CA 1999-2290127	19991122
	CA 2290127	C	20050125		

CA 2475401	A1	20001225	CA 1999-2475401	19991122
GB 2354239	A	20010321	GB 2001-1504	19991122
GB 2354239	B	20010606		
GB 2357761	A	20010704	GB 2001-5182	19991122
GB 2357761	B	20010905		
AU 2001100440	A4	20011101	AU 2001-2001100440	19991122
AU 2001100440	B4	20020124		
EP 1159274	A2	20011205	EP 1999-968622	19991122
EP 1159274	B1	20030326		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 200103235	A2	20020128	HU 2001-3235	19991122
BR 9917368	A	20020305	BR 1999-17368	19991122
AT 9909041	A	20020515	AT 1999-9041	19991122
AT 409961	B	20021227		
TR 200103702	T2	20020621	TR 2001-200103702	19991122
DE 19983487	C1	20020725	DE 1999-19983487	19991122
JP 2002526386	T	20020820	JP 2000-568457	19991122
JP 3447267	B2	20030916		
AT 235478	T	20030415	AT 1999-968622	19991122
ES 2189699	A1	20030701	ES 2001-50011	19991122
CZ 292198	B6	20030813	CZ 2001-320	19991122
PT 1159274	T	20030829	PT 1999-968622	19991122
ES 2194545	T3	20031116	ES 1999-968622	19991122
NZ 514979	A	20040130	NZ 1999-514979	19991122
CN 1502616	A	20040609	CN 2003-10118780	19991122
SE 2001000193	A	20010425	SE 2001-193	20010124
SE 516690	C2	20020212		
FI 2001000155	A	20010209	FI 2001-155	20010125
FI 108641	B1	20020228		
IN 2001CN00148	A	20050304	IN 2001-CN148	20010201
ZA 2001008854	A	20020611	ZA 2001-8854	20011026
US 2002077353	A1	20020620	US 2001-12054	20011106
BG 106191	A	20020830	BG 2001-106191	20011207
HK 1049002	A1	20041231	HK 2003-101234	20030218
PRAI DK 1999-920	A	19990625		
JP 2000-571018	A3	19991025		
CA 1999-2290127	A3	19991122		
CN 1999-816751	A	19991122		
GB 2001-1504	A3	19991122		
WO 1999-DK640	W	19991122		
OS	CASREACT 132:194283; MARPAT 132:194283			
GI				



AB The title compound [I; R = CN], the well known antidepressant (no data), was prepared by reacting a compound I [wherein R = halo, CF<sub>3</sub>(CF<sub>2</sub>)<sub>n</sub>SO<sub>2</sub>; n = 0-8] with a cyanide source in the presence of a palladium catalyst and a

catalytic amount of Cu<sup>+</sup> or Zn<sup>2+</sup>, or with Zn(CN)<sub>2</sub> in the presence of a palladium catalyst.

L2 ANSWER 15 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2000:161091 ZCAPLUS  
 DN 132:207755  
 TI Method for the preparation of citalopram  
 IN Rock, Michael Harold; Petersen, Hans; Ellegaard, Peter  
 PA H. Lundbeck A/s, Den.  
 SO PCT Int. Appl., 19 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012044	A2	20000309	WO 1999-DK581	19991025
	WO 2000012044	A3	20000803		
	W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW			
	RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9963265	A	20000321	AU 1999-63265	19991025
	CA 2291133	A1	20010425	CA 1999-2291133	19991025
	CA 2291133	C	20030617		
	GB 2360281	A	20010919	GB 2001-15030	19991025
	GB 2360281	B	20020116		
	BR 9917108	A	20011016	BR 1999-17108	19991025
	TR 200101874	T1	20020221	TR 2001-200101874	19991025
	CH 692298	A5	20020430	CH 2001-2004	19991025
	CH 692421	A5	20020614	CH 2001-1179	19991025
	HU 200200169	A2	20020629	HU 2002-169	19991025
	HU 200200169	A3	20021128		
	ES 2169709	A1	20020701	ES 2001-50056	19991025
	EP 1228056	A2	20020807	EP 1999-950511	19991025
	EP 1228056	B1	20040922		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
	JP 2002525273	T	20020813	JP 2000-571018	19991025
	JP 3365764	B2	20030114		
	JP 2003012663	A	20030115	JP 2002-106016	19991025
	EP 1298124	A1	20030402	EP 2002-28326	19991025
	EP 1298124	B1	20070228		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
	DE 19983836	C1	20031023	DE 1999-19983836	19991025
	CZ 292992	B6	20040114	CZ 2001-2246	19991025
	NZ 512406	A	20040130	NZ 1999-512406	19991025
	AT 277032	T	20041015	AT 1999-950511	19991025
	PT 1228056	T	20050228	PT 1999-950511	19991025
	ES 2229774	T3	20050416	ES 1999-950511	19991025
	TR 200401456	T1	20050421	TR 2004-200401456	19991025
	AT 355268	T	20060315	AT 2002-28326	19991025
	IT 99MI2505	A1	20010601	IT 1999-MI2505	19991201
	IT 1314243	B1	20021206		
	BG 105617	A	20020131	BG 2001-105617	20010618
	ZA 2001004971	A	20020304	ZA 2001-4971	20010618
	FI 2001001316	A	20010620	FI 2001-1316	20010620

NO 2001003185	A	20010824	NO 2001-3185	20010625
US 2002035277	A1	20020321	US 2001-891874	20010625
US 6407267	B2	20020618		
US 2002177722	A1	20021128	US 2002-138811	20020503
US 6566540	B2	20030520		
HK 1043129	A1	20041021	HK 2002-105036	20020705
CZ 292894	B6	20031217	CZ 2002-2925	20020829
PRAI CH 2001-1179	A	19991025		
CH 2001-2004	A	19991025		
DK 1999-920	A	19990625		
EP 1999-950511	A3	19991025		
ES 2001-50056	A	19991025		
JP 2000-571018	A3	19991025		
WO 1999-DK581	W	19991025		
US 2001-891874	A3	20010625		
OS CASREACT 132:207755; MARPAT 132:207755				
AB The title process comprises condensation-cyclization of 4-FC6H4COZCH2OR (R = alkyl, acyl, alkyl- or arylsulfonyl; Z = 4-cyano-1,2-phenylene) with Me2N(CH2)3MgCl.				

L2 ANSWER 16 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1999:404803 ZCAPLUS  
 DN 131:58745  
 TI Method for the preparation of citalopram  
 IN Petersen, Hans  
 PA H. Lundbeck A/S, Den.  
 SO PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9930548	A2	19990624	WO 1999-DK210	19990414
	WO 9930548	A3	20000210		
	W:				
	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,				
	DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,				
	JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,				
	MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,				
	TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,				
	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,				
	CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2291129	A1	19990624	CA 1999-2291129	19990414
	CA 2291129	C	20021022		
	AU 9931378	A	19990705	AU 1999-31378	19990414
	AU 759716	B2	20030417		
	EP 1173431	A2	20020123	EP 1999-913120	19990414
	EP 1173431	B1	20030416		
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO				
	BR 9917346	A	20020226	BR 1999-17346	19990414
	JP 2002509864	T	20020402	JP 2000-538553	19990414
	JP 3798940	B2	20060719		
	HU 200200678	A2	20020729	HU 2002-678	19990414
	HU 200200678	A3	20020930		
	AT 237604	T	20030515	AT 1999-913120	19990414
	PT 1173431	T	20030930	PT 1999-913120	19990414
	NZ 514671	A	20031031	NZ 1999-514671	19990414
	ES 2195554	T3	20031201	ES 1999-913120	19990414
	TR 200102957	T2	20041221	TR 2001-200102957	19990414
	CZ 296537	B6	20060412	CZ 2001-3693	19990414

SK 285604	B6	20070405	SK 2001-1440	19990414
IT 99MI1580	A1	20010115	IT 1999-MI1580	19990715
NO 2001005017	A	20011015	NO 2001-5017	20011015
US 2002040153	A1	20020404	US 2001-977920	20011015
US 6849749	B2	20050201		
HK 1048122	A1	20050114	HK 2003-100330	20030114
US 2005124817	A1	20050609	US 2004-958067	20041004
US 7030252	B2	20060418		
PRAI EP 1999-913120	A	19990414		
WO 1999-DK210	A	19990414		
DK 2000-401	A	20000313		
US 2001-977920	A1	20011015		
OS MARPAT 131:58745				
AB	A method for the preparation of citalopram comprising reductive hydrolysis of 5-morpholinocarbonyl-1-(3-dimethylaminopropyl)-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran and conversion of the resulting 5-formyl compound to citalopram.			

L2 ANSWER 17 OF 17 ZCAPLUS COPYRIGHT 2007 ACS on STN  
 AN 1998:338080 ZCAPLUS  
 DN 129:4572  
 TI Method for the preparation of citalopram  
 IN Petersen, Hans; Bregnedal, Peter; Bogeso, Klaus Peter  
 PA H. Lundbeck A/S, Den.  
 SO PCT Int. Appl., 17 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9819513	A2	19980514	WO 1998-DK81	19980303
	WO 9819513	A3	20000504		
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2291067	A1	19980514	CA 1998-2291067	19980303
	CA 2291067	C	20020730		
	AU 9866098	A	19980529	AU 1998-66098	19980303
	AU 737610	B2	20010823		
	EP 1015416	A2	20000705	EP 1998-905125	19980303
	EP 1015416	B1	20010919		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200000066	T2	20001121	TR 2000-200000066	19980303
	BR 9810499	A	20010313	BR 1998-10499	19980303
	HU 200003177	A2	20010428	HU 2000-3177	19980303
	AT 205824	T	20011015	AT 1998-905125	19980303
	NZ 501737	A	20011026	NZ 1998-501737	19980303
	ES 2148120	T3	20020116	ES 1998-905125	19980303
	JP 2002509526	T	20020326	JP 1998-520971	19980303
	JP 3526581	B2	20040517		
	PT 1015416	T	20020328	PT 1998-905125	19980303
	CZ 291440	B6	20030312	CZ 2000-62	19980303
	SK 283309	B6	20030502	SK 2000-3	19980303
	CN 1495157	A	20040512	CN 2003-10116407	19980303
	IL 133511	A	20040512	IL 1998-133511	19980303

IN 1998MA01514	A	20050304	IN 1998-MA1514	19980706
ZA 9805981	A	19990128	ZA 1998-5981	19980707
MX 9911854	A	20000531	MX 1999-11854	19991216
NO 2000000008	A	20000103	NO 2000-8	20000103
NO 322146	B1	20060821		
US 6229026	B1	20010508	US 2000-479832	20000107
BG 104116	A	20001229	BG 2000-104116	20000131
BG 64823	B1	20060531		
HK 1030940	A1	20041217	HK 2001-101807	20010313
HK 1065997	A1	20051202	HK 2004-108969	20010313
PRAI DK 1997-826	A	19970708		
US 1997-52788P	P	19970708		
CN 1998-806945	A	19980303		
WO 1998-DK81	W	19980303		
HK 2001-101807	A	20010313		
OS CASREACT 129:4572; MARPAT 129:4572				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compound I.oxalate, the known antidepressant agent (no data), was prepared by reacting a compound II [R1 = C1-6 alkyl; X = O, NH] with 4-fluorophenylmagnesium bromide and 3-dimethylaminopropylmagnesium chloride, resp., followed by ring closure of the resulting compound III and converting the resulting 1,3-dihydroisobenzofuran IV into citalopram I.

=> s l2 and crystal?

1854160 CRYSTAL?

L3 0 L2 AND CRYSTAL?

=> s l2 and polymor?

207164 POLYMOR?

L4 0 L2 AND POLYMOR?

=> s 17 and (crystl? or polymorph? or (x (w)ray))  
 109 CRYSTL?  
 207127 POLYMORPH?  
 1594448 X  
 1076436 RAY  
 833500 X (W)RAY  
 L8 2 L7 AND (CRYSTL? OR POLYMORPH? OR (X (W)RAY))

=> d bib hit 1-2

L8 ANSWER 1 OF 2 ZCAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:395500 ZCAPLUS  
 DN 142:442858  
 TI Inversion on chromosome 8p23 is a risk factor for anxiety disorders,  
 depression and bipolar  
 IN Bjornsdottir, Soley; Kong, Augustine; Thorgeirsson, Thorgeir E.  
 PA Decode Genetics Ehf., Iceland  
 SO PCT Int. Appl., 200 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005040427	A2	20050506	WO 2004-US30699	20040917
	WO 2005040427	A3	20051229		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2538664	A1	20050506	CA 2004-2538664	20040917
	EP 1680518	A2	20060719	EP 2004-809772	20040917
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRAI	US 2003-504307P	P	20030919		
	WO 2004-US30699	W	20040917		
IT	Genetic polymorphism (indel; inversion on chromosome 8p23 is a risk factor for anxiety disorders, depression and bipolar)				
IT	Genetic polymorphism (single nucleotide; inversion on chromosome 8p23 is a risk factor for anxiety disorders, depression and bipolar)				
IT	54910-89-3, Fluoxetine 59729-32-7, Cipramil 59729-33-8, Citalopram 79617-96-2, Sertraline 93413-69-5, Venlafaxine 128196-01-0, Escitalopram 219861-08-2, Cipralext RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (inversion on chromosome 8p23 is a risk factor for anxiety disorders, depression and bipolar)				
L8	ANSWER 2 OF 2 ZCAPLUS COPYRIGHT 2007 ACS on STN				
AN	2004:817875 ZCAPLUS				
DN	141:320074				
TI	Novel crystalline forms of (S)-citalopram oxalate				



IN Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura; Raji, Reddy Rapolu;  
 Muralidhara, Reddy Dasari; Subash, Chander Reddy Kesireddy  
 PA Hetero Drugs Limited, India  
 SO PCT Int. Appl., 12 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085416	A1	20041007	WO 2003-IN66	20030324
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003223105 A1 20041018 AU 2003-223105 20030324 US 2005154052 A1 20050714 US 2003-509139 20030324 TR 200504022 T1 20060821 TR 2005-4022 20030324 IN 2003CN00744 A 20050415 IN 2003-CN744 20030519 PRAI WO 2003-IN66 A 20030324				

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ST citalopram oxalate crystal form prepn delivery system;  
 polymorphism citalopram oxalate  
 IT Crystallization  
 Drug delivery systems  
 Polymorphism (crystal)  
 (preparation of crystalline forms of citalopram oxalate for delivery systems)  
 IT 219861-08-2P  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP  
 (Physical process); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (preparation of crystalline forms of citalopram oxalate for delivery systems)

=> s 16

L7 72 L6

=> s 17 and (crystl? or polymorph? or (x (w)ray))

109 CRYSTL?

207127 POLYMORPH?

1594448 X

1076436 RAY

833500 X (W)RAY

L8 2 L7 AND (CRYSTL? OR POLYMORPH? OR (X (W)RAY))

=> d bib hit 1-2

L8 ANSWER 1 OF 2 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:395500 ZCAPLUS

DN 142:442858

TI Inversion on chromosome 8p23 is a risk factor for anxiety disorders,  
depression and bipolar

IN Bjornsdottir, Soley; Kong, Augustine; Thorgeirsson, Thorgeir E.

PA Decode Genetics Ehf., Iceland

SO PCT Int. Appl., 200 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005040427	A2	20050506	WO 2004-US30699	20040917
	WO 2005040427	A3	20051229		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2538664	A1	20050506	CA 2004-2538664	20040917
	EP 1680518	A2	20060719	EP 2004-809772	20040917
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
PRAI	US 2003-504307P	P	20030919		
	WO 2004-US30699	W	20040917		
IT	Genetic polymorphism				
	(indel; inversion on chromosome 8p23 is a risk factor for anxiety disorders, depression and bipolar)				
IT	Genetic polymorphism				
	(single nucleotide; inversion on chromosome 8p23 is a risk factor for anxiety disorders, depression and bipolar)				
IT	54910-89-3, Fluoxetine	59729-32-7, Cipramil	59729-33-8, Citalopram		
	79617-96-2, Sertraline	93413-69-5, Venlafaxine	128196-01-0, Escitalopram		
	219861-08-2, Cipralext				
RL:	BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(inversion on chromosome 8p23 is a risk factor for anxiety disorders, depression and bipolar)				

L8 ANSWER 2 OF 2 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:817875 ZCAPLUS  
 DN 141:320074  
 TI Novel crystalline forms of (S)-citalopram oxalate  
 IN Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura; Raji, Reddy Rapolu;  
 Muralidhara, Reddy Dasari; Subash, Chander Reddy Kesireddy  
 PA Hetero Drugs Limited, India  
 SO PCT Int. Appl., 12 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004085416	A1	20041007	WO 2003-IN66	20030324
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003223105	A1	20041018	AU 2003-223105	20030324
	US 2005154052	A1	20050714	US 2003-509139	20030324
	TR 200504022	T1	20060821	TR 2005-4022	20030324
	IN 2003CN00744	A	20050415	IN 2003-CN744	20030519
PRAI	WO 2003-IN66	A	20030324		

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

ST citalopram oxalate crystal form prepn delivery system;  
 polymorphism citalopram oxalate

IT Crystallization

Drug delivery systems

Polymorphism (crystal)

(preparation of crystalline forms of citalopram oxalate for delivery systems)

IT 219861-08-2P

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

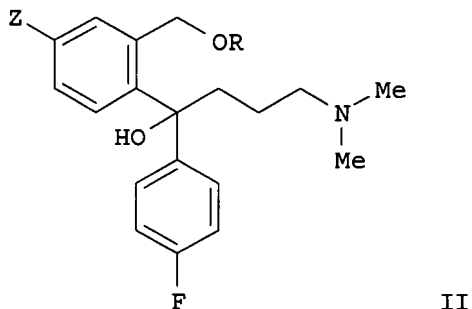
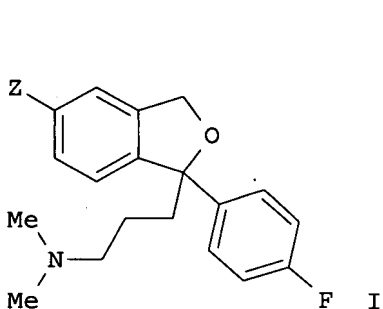
(preparation of crystalline forms of citalopram oxalate for delivery systems)

=> s citalopram(w)oxalate  
2634 CITALOPRAM  
54997 OXALATE  
L1 15 CITALOPRAM(W) OXALATE

=> d bib abs 1-15

L1 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2006:194068 CAPLUS  
DN 144:274127  
TI Process for preparation of citalopram and its enantiomers via acid or base cyclization of the diol  
IN Periyandi, Nagarajan; Kilaru, Srinivasu; Thennati, Rajamannar  
PA Sun Pharmaceutical Industries Limited, India  
SO PCT Int. Appl., 31 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006021971	A2	20060302	WO 2005-IN276	20050812
	WO 2006021971	A3	20060713		
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	IN 2004MU00912	A	20070420	IN 2004-MU912	20040823
PRAI	IN 2004-MU912	A	20040823		
OS	CASREACT 144:274127; MARPAT 144:274127				
GI					



AB The invention provides a process for preparation of 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile I (Z = CN; citalopram) and its enantiomers. The process for preparation of compound I comprising reacting a compound of formula II (R = H), in the presence of a base, with a compound of formula RX, wherein R is (un)substituted alkyl, (un)substituted alkenyl, and (un)substituted (hetero)aryl; X is from F, Cl, Br, I, CN, OTf and OR1; R1 is (un)substituted alkyl; Z is CN or a

group that may be converted to a cyano group; so that an intermediate ether derivative, where R is as defined above, is formed from said reaction, which ether cyclizes to give a compound of formula I, where Z is not a cyano group, and conversion of the group Z in the compound of formula I to a cyano group to form racemic I (Z = CN), is claimed in this invention. The invention also provides ether compds., compds. of formula II and a process for preparation thereof. (S)-(+)-Citropram, i.e., (S)-(+)-I (Z = CN) was prepared by nucleophilic aromatic substitution of 2,5-dichloronitrobenzene with (S)-(-)-II (Z = CN; R = H) to give the corresponding benzylic Ph ether, that was converted to its HCl salt, and cyclized in the presence of potassium carbonate to give (S)-(+)-I.

L1 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:160320 CAPLUS

DN 144:304442

TI Rapid and sensitive liquid chromatography-mass spectrometry method for determination of ropinirole in human plasma

AU Bhatt, Jignesh; Jangid, Arvind; Shetty, Raghavendra; Shah, Bhavin; Kambli, Sandeep; Subbaiah, Gunta; Singh, Sadhana

CS Torrent Research Centre, Gandhinagar, Gujarat, 380009, India

SO Journal of Pharmaceutical and Biomedical Analysis (2006), 40(5), 1202-1208  
CODEN: JPBADA; ISSN: 0731-7085

PB Elsevier B.V.

DT Journal

LA English

AB A rapid and robust liquid chromatog.-mass spectrometry (LC-MS/MS) method was developed for non-ergoline dopamine D2-receptor agonist, ropinirole in human plasma using Es-citalopram oxalate as an internal standard. The method involves solid phase extraction from plasma, reversed-phase simple isocratic chromatog. conditions and mass spectrometric detection that enables a detection limit at picogram levels. The proposed method was validated with linear range of 20-1200 pg/mL. The extraction recoveries for ropinirole and internal standard were 90.45 and 65.42%,

resp. The R.S.D.% of intra-day and inter-day assay was lower than 15%. For its sensitivity and reliability, the proposed method is particularly suitable for pharmacokinetic studies.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:31412 CAPLUS

DN 142:141428

TI Quantitative analysis of S-citalopram oxalate by chiral liquid chromatography

AU Yang, Xue-mei; Liu, Xu; Yan, Yi-chen; Xu, Jiang-ping

CS Department of Chemistry, First Military Medical University, Guangzhou, 510515, Peop. Rep. China

SO Zhongguo Xinyao Zazhi (2004), 13(11), 1020-1021  
CODEN: ZXZHA6; ISSN: 1003-3734

PB Zhongguo Xinyao Zazhishe

DT Journal

LA Chinese

AB An HPLC method for the separation of enantiomers of citalopram oxalate and determination of S-citalopram was presented. The chromatog. conditions were as follows: a Chirobiotic V column (250mm d 4.6mm, 5µm), methanol-acetic acid-triethylamine (100:0.1:0.1) as a mobile phase, detection wavelength at 240 nm, the column temperature at 20°C and flow rate at 1.0 mL/min. The enantiomers of citalopram oxalate was successfully separated. The linearity of S-citalopram was 10-150 µg/mL with a regression coefficient at 0.999 1 (n=5). Conclusion: This quant. anal. of S-citalopram oxalate is attained.

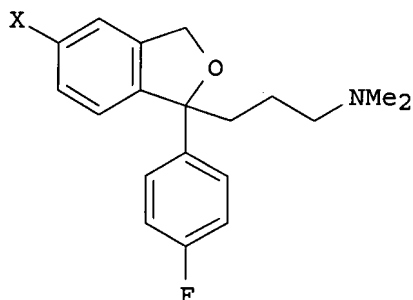
L1 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:1079731 CAPLUS  
 DN 142:56160  
 TI process for purification of citalopram by hydrogenolysis halogenated isobenzofuran impurities  
 IN Borase, Ashok Punju; Patel, Nileshkumar Sureshbai; Kilaru, Srinivasu; Thennati, Rajamannar  
 PA Sun Pharmaceuticals Industries Ltd., India  
 SO Eur. Pat. Appl., 17 pp.  
 CODEN: EPXXDW

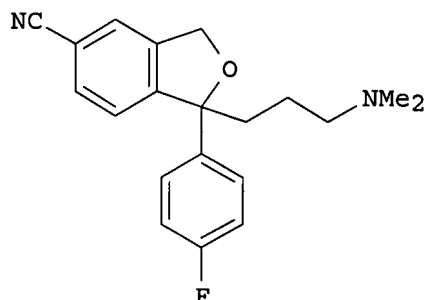
DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1486492	A2	20041215	EP 2004-291424	20040608
	EP 1486492	A3	20050223		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	IN 2003MU00602	A	20050211	IN 2003-MU602	20030610
	US 2005004380	A1	20050106	US 2004-865139	20040608
	US 7019153	B2	20060328		
PRAI	IN 2003-MU602	A	20030610		
OS	MARPAT 142:56160				
GI					



I



II

AB The present invention provides a process for decreasing the content of halogenated isobenzofuran impurities I (X = halo) in citalopram (II) by hydrogenolysis to I (X = H). Thus, 5 g crude citalopram base containing 4.84% of bromo impurity I (X = Br) is dissolved in 50 mL EtOAc, 0.1 g Pd/C and 0.1 g sodium hypophosphite added and the mixture refluxed for 2 h. Anal. showed that the bromo impurity I (X = Br) is absent.

L1 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:817875 CAPLUS

DN 141:320074

TI Novel crystalline forms of (S)-citalopram oxalate

IN Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura; Raji, Reddy Rapolu; Muralidhara, Reddy Dasari; Subash, Chander Reddy Kesireddy

PA Hetero Drugs Limited, India

SO PCT Int. Appl., 12 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004085416	A1	20041007	WO 2003-IN66	20030324
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

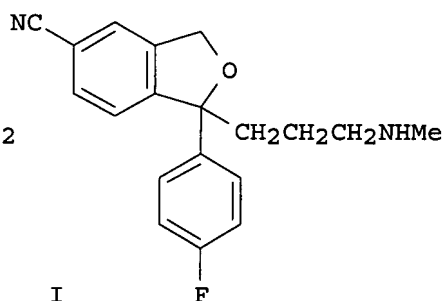
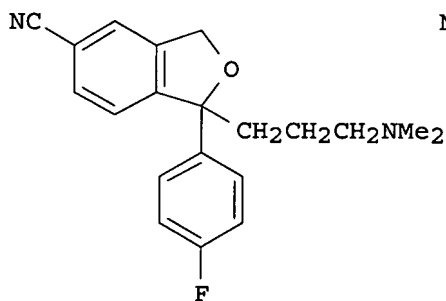
AU 2003223105 A1 20041018 AU 2003-223105 20030324  
 US 2005154052 A1 20050714 US 2003-509139 20030324  
 TR 200504022 T1 20060821 TR 2005-4022 20030324  
 IN 2003CN00744 A 20050415 IN 2003-CN744 20030519  
 PRAI WO 2003-IN66 A 20030324

AB The present invention relates to novel crystalline forms of (S)-citalopram oxalate, to processes for their preparation and to pharmaceutical compns. containing them. The process comprises (i) mixing (S)-citalopram oxalate and a suitable solvent, and (ii) isolating a crystalline form of (S)-citalopram oxalate, or (a) adding oxalic acid to a solution of (S)-citalopram in a suitable solvent, and (b) isolating a crystalline form of (S)-citalopram oxalate. For example, 5 g of (S)-citalopram oxalate was mixed with 30 mL acetone, heated to reflux and cooled to 20°. The separated crystals were filtered and dried to give Form I of (S)-citalopram oxalate (4.5 g).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2004:691476 CAPLUS  
 DN 141:207048  
 TI Preparation of pure citalopram  
 IN Kaushik, Vipin Kumar; Rao, Divvela Venkata Naga Srinivasa; Handa, Vijay Kumar; Sivakumaran, Meenakshisunderam  
 PA Aurobindo Pharma Ltd., India  
 SO U.S., 3 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6781003	B1	20040824	US 2003-456135	20030609
PRAI	US 2003-456135		20030609		
OS	CASREACT 141:207048				
GI					



AB The present invention relates to an industrially advantageous method for

the purification of citalopram (I) wherein desmethyl citalopram (II), present in crude citalopram as an impurity, is methylated to produce pure citalopram I. The resulting citalopram product I is isolated as the base or a pharmaceutically acceptable salt thereof. Thus, to crude citalopram (90 g, 0.28 mol) containing desmethyl citalopram (7 %, HPLC), formic acid (98%, 2.7 g) was added followed by aqueous formaldehyde(35%, 2.37 g). The reaction mass was heated at 85-95° for 30 min, cooled to 30°, and diluted with ethanol (900 mL), treated with oxalic acid dihydrate (41.94 g, 0.33 mol), and heated to reflux. The obtained solution was cooled to 20-25° and stirring was continued for 2 h at 20-25°, followed by collecting the product by filtration and recrystn. from ethanol to give highly pure 92 g crystalline citalopram oxalate having HPLC purity 99.7% wherein desmethyl citalopram (impurity) was not detected.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:331827 CAPLUS  
DN 140:357194  
TI Process for the manufacture of citalopram hydrobromide from 5-bromophthalide  
IN Chodankar, Nandkumar; Bhobe, Ajit; Oak, G. M.; Eappan, Philip  
PA Sekhsaria Chemicals Limited, India  
SO U.S. Pat. Appl. Publ., 8 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004077870	A1	20040422	US 2002-277451	20021022
	US 6812355	B2	20041102		
PRAI	US 2002-277451		20021022		

OS CASREACT 140:357194; MARPAT 140:357194  
AB Disclosed is a process for the preparation of 1-(4-fluorophenyl)-1-(3-dimethylamino-propyl)-5-phthalanecarbonitrile (citalopram) (known antidepressant) or a pharmaceutically acceptable salt thereof, comprising performing two successive Grignard reactions on 5-bromophthalide using p-fluorobromobenzene and then N,N-dimethylaminopropylmagnesium chloride, wherein the 5-bromophthalide is reacted with the first Grignard reagent in the presence of a Lewis acid, so reducing byproduct formation and improving yields.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:130967 CAPLUS  
DN 141:1025  
TI Anxiolytic-like effects of escitalopram, citalopram, and R-citalopram in maternally separated mouse Pups  
AU Fish, Eric W.; Faccidomo, Sara; Gupta, Sandeep; Miczek, Klaus A.  
CS Department of Psychology, Tufts University, Medford and Boston, MA, USA  
SO Journal of Pharmacology and Experimental Therapeutics (2004), 308(2), 474-480  
CODEN: JPETAB; ISSN: 0022-3565  
PB American Society for Pharmacology and Experimental Therapeutics  
DT Journal  
LA English  
AB

The S-enantiomer of citalopram, escitalopram, is a selective serotonin reuptake inhibitor (SSRI) that appears to be responsible for citalopram's antidepressant and anxiolytic effects. Clin., escitalopram is reported to have fewer adverse side effects than do other SSRIs. This study compared escitalopram to other antidepressants in a preclin. procedure predicting



anxiolytic-like effects of drugs. Carworth Farms Webster (CFW) mouse pups (7 days old) were separated from the dam and maintained at a temperature of 34°. Forty-five minutes after administering citalopram (0.56-10 mg/kg), escitalopram (0.0056-3 mg/kg), R-citalopram (1-10 mg/kg), paroxetine (0.3-3 mg/kg), fluoxetine (1-30 mg/kg), or venlafaxine (3-56 mg/kg) s.c., the pups were placed individually on a 19.5° surface for 4 min. Ultrasonic vocalizations (USVs) (30-80 kHz), grid crossing, rolling (i.e., the pup turned on one side or its back), and colonic temperature were recorded. All the drugs reduced USV emission; escitalopram was the most potent (ED50 0.05 mg/kg), followed by paroxetine (0.17 mg/kg), citalopram (1.2 mg/kg), fluoxetine (4.3 mg/kg), R-citalopram (6 mg/kg), and venlafaxine (7 mg/kg). The doses that decreased USVs differed from those that increased motor activity. Increased grid crossing occurred after low doses of paroxetine (0.03 or 0.1 mg/kg) and fluoxetine (1 mg/kg), but only after the highest doses of the citalopram enantiomers and venlafaxine (0.3, 10, and 56 mg/kg, resp.). Except for escitalopram and venlafaxine, high doses of the treatments increased rolling. R-Citalopram caused a 10-fold rightward shift in escitalopram's dose-effect curve, suggesting that R-citalopram inhibits escitalopram's anxiolytic-like effects. These data support clin. findings that escitalopram is a potent, well tolerated SSRI with anxiolytic-like effects.

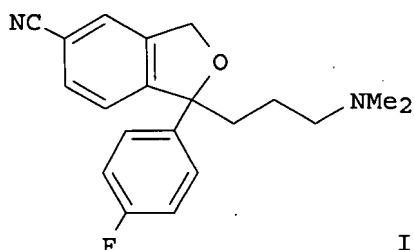
RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:172971 CAPLUS  
DN 138:221462  
TI Improved process for the manufacture of citalopram hydrobromide from  
5-bromophthalide  
PA Sekhsaria Chemicals Ltd., India  
SO Eur. Pat. Appl., 15 pp.  
CODEN: EPXXDW

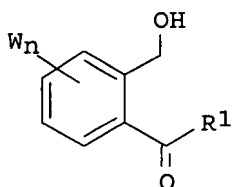
DT Patent  
LA English

FAN.CNT 1

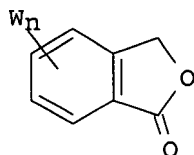
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1288211	A1	20030305	EP 2002-255750	20020819
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRAI	US 2001-315391P	P	20010828		
OS	CASREACT 138:221462; MARPAT 138:221462				
GI					



I



II



III

AB A process for the preparation of 1-(4'-fluorophenyl)-1-(3-dimethylamino-propyl)-5-phthalanecarbonitrile (I), or a pharmaceutically acceptable salt thereof, comprising performing two successive Grignard reactions on 5-bromophthalide, wherein the 5-bromophthalide is reacted with the first Grignard reagent in the presence of a Lewis acid, so reducing byproduct formation and improving yields. Also claimed is a process for the preparation of aryl ketone II [R1 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, aralkyl, optionally containing one heteroatom; W = haloge, CN, OH, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, aryl, aralkyl; n = 0 - 4] which comprises the step of reacting a phthalide III with a Grignard reagent, R1MgY (Y = halogen) and is characterized in that the phthalide is reacted with a Lewis acid to form an adduct prior to reaction with the Grignard reagent. Thus,.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

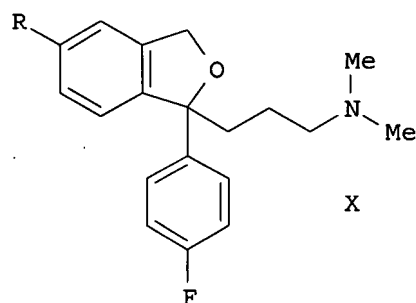
L1 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:32670 CAPLUS  
DN 138:55856  
TI Process for the preparation of highly pure salts of citalopram  
IN Satyanarayana, Chava; Venkata, Ramana Rao Chunchu; Jyothi, Basu Abbineni; Hari, Babu Bobepudi  
PA Matrix Laboratories Limited, India  
SO Brit. UK Pat. Appl., 18 pp.  
CODEN: BAXXDU  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2375763	A	20021127	GB 2002-10225	20020503
	GB 2375763	B	20030924		
	CA 2444940	A1	20030904	CA 2002-2444940	20020418
	WO 2003072565	A1	20030904	WO 2002-IB3832	20020418
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 GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002367728	A1	20030909	AU 2002-367728	20020418
BR 2002009194	A	20040608	BR 2002-9194	20020418
CN 1509279	A	20040630	CN 2002-809801	20020418
EP 1478635	A1	20041124	EP 2002-806883	20020418
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JP 2005518445	T	20050623	JP 2003-571271	20020418
NZ 529070	A	20060224	NZ 2002-529070	20020418
GB 2387596	A	20031022	GB 2003-15853	20020503
GB 2387596	B	20040211		
GB 2387844	A	20031029	GB 2003-15852	20020503
GB 2387844	B	20050511		
ZA 2003008115	A	20040705	ZA 2003-8115	20031017
PRAI GB 2002-4607	A	20020227		
WO 2002-IB3832	W	20020418		
GB 2002-10225	A	20020503		

GI



AB A process for preparing highly pure salts of citalopram, such as I (R = CN; X = oxalate, hydrobromide, hydrochloride), for pharmaceutical compns. was described. Thus, citalopram contaminated with up to 5.0% of desmethyl citalopram was added to acetone and stirred for 15 min at 40° followed by addn of oxalic acid to form citalopram oxalate in 85% yield with desmethyl citalopram content <0.1%.

L1 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:8116 CAPLUS

DN 138:55857

TI Process for the preparation of citalopram

IN Hamied, Yusuf Khwaja; Kankan, Rajendra Narayanrao; Rao, Dharmaraj  
 Ramachandra

PA Cipla Limited, India

SO Brit. UK Pat. Appl., 11 pp.

CODEN: BAXXDU

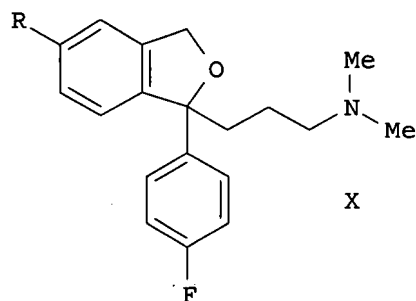
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	GB 2376945	A	20021231	GB 2001-15708	20010627
PRAI	GB 2001-15708		20010627		
OS	CASREACT 138:55857; MARPAT 138:55857				

GI



AB An improved process for the preparation of citalopram via substitution of the halogen of halophthalane salts I (R = halogen; X = oxalate, fumarate, maleate, citrate, acetate, formate, hydrochloride, hydrobromide, sulfate) using cuprous cyanide in an organic solvent. Thus, bromophthalane oxalate I (R = Br, X = oxalate) was reacted CuCN in diglyme under a nitrogen atmospheric

at 150-155° for 3 h to form citalopram which was converted to its HBr salt I (R = CN, X = HBr).

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:550141 CAPLUS

DN 137:78852

TI Preparation of citalopram from 5-carboxyphthalide and Grignard derivatives of 4-halofluorobenzenes and 3-dimethylaminopropyl halides.

IN Dancer, Robert; Petersen, Hans; Ahmadian, Haleh

PA H. Lundbeck A/S, Den.

SO Patentschrift (Switz.), 11 pp.

CODEN: SWXXAS

DT Patent

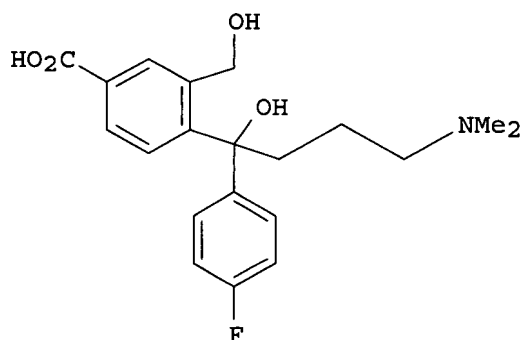
LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	CA 2354880	C	20030603		
	CA 2354877	A1	20020218	CA 2001-2354877	20010809
	CA 2354877	C	20060502		
	FI 2001001621	A	20020219	FI 2001-1621	20010809
	FI 2001001622	A	20020219	FI 2001-1622	20010809
	IL 144816	A	20050925	IL 2001-144816	20010809
	HU 200103291	A2	20020529	HU 2001-3291	20010810
	IT 2001MI1785	A1	20020218	IT 2001-MI1785	20010813
	IT 2001MI1786	A1	20020218	IT 2001-MI1786	20010813
	HU 200103295	A2	20020529	HU 2001-3295	20010813
	IN 194521	A1	20041113	IN 2001-MA665	20010813
	GB 2362647	A	20011128	GB 2001-19733	20010814
	GB 2362647	B	20020918		
	ZA 2001006687	A	20020214	ZA 2001-6687	20010814
	DK 2001001216	A	20020219	DK 2001-1216	20010814
	DK 2001001219	A	20020219	DK 2001-1219	20010814
	NO 2001003942	A	20020219	NO 2001-3942	20010814
	NO 2001003943	A	20020219	NO 2001-3943	20010814
	GB 2365865	A	20020227	GB 2001-19734	20010814

GB 2365865	B	20020717		
US 2002025982	A1	20020228	US 2001-930107	20010814
US 6426422	B2	20020730		
US 2002026062	A1	20020228	US 2001-930110	20010814
US 6509483	B2	20030121		
WO 2002016341	A1	20020228	WO 2001-DK541	20010814
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WO 2002016342	A1	20020228	WO 2001-DK542	20010814
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AU 200179609	A	20020304	AU 2001-79609	20010814
GR 2001100397	A	20020524	GR 2001-100397	20010814
GR 1004635	B2	20040714		
GR 2001100398	A	20020524	GR 2001-100398	20010814
GR 1004074	B2	20021126		
ZA 2001006683	A	20020805	ZA 2001-6683	20010814
EP 1309581	A1	20030514	EP 2001-957785	20010814
EP 1309581	B1	20041103		
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JP 2004506730	T	20040304	JP 2002-521443	20010814
NZ 523853	A	20040730	NZ 2001-523853	20010814
NZ 523877	A	20040827	NZ 2001-523877	20010814
AT 281447	T	20041115	AT 2001-957785	20010814
AT 281448	T	20041115	AT 2001-957786	20010814
PT 1309581	T	20050331	PT 2001-957785	20010814
PT 1309582	T	20050331	PT 2001-957786	20010814
ES 2228920	T3	20050416	ES 2001-1957786	20010814
ES 2230347	T3	20050501	ES 2001-1957785	20010814
AU 2001100271	A4	20010913	AU 2001-100271	20010815
AU 2001100271	B4	20011129		
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CZ 295863	B6	20051116	CZ 2001-2959	20010815
AU 2001100278	A4	20010913	AU 2001-100278	20010816
AU 2001100278	B4	20011129		
NL 1018775	C1	20011024	NL 2001-1018775	20010816
NL 1018776	C1	20011024	NL 2001-1018776	20010816
BE 1013443	A6	20020115	BE 2001-548	20010816
FR 2813077	A1	20020222	FR 2001-10855	20010816
FR 2813077	B1	20040820		
FR 2813078	A1	20020222	FR 2001-10857	20010816
FR 2813078	B1	20040402		
DE 10140028	A1	20020418	DE 2001-10140028	20010816

DE 10140029	A1	20020502	DE 2001-10140029	20010816
CN 1339435	A	20020313	CN 2001-133947	20010817
CN 1339436	A	20020313	CN 2001-133948	20010817
BR 2001004841	A	20020604	BR 2001-4841	20010817
ES 2170734	A1	20020801	ES 2001-1919	20010817
ES 2170735	A1	20020801	ES 2001-1920	20010817
CN 1515564	A	20040728	CN 2004-10001871	20010817
IN 194535	A1	20041113	IN 2001-MA680	20010817
BE 1013444	A6	20020115	BE 2001-550	20010820
BR 2001005022	A	20020604	BR 2001-5022	20010824
HK 1044538	A1	20060707	HK 2002-106176	20020822
HK 1047086	A1	20050422	HK 2002-106522	20020904
HK 1068069	A1	20060922	HK 2005-100254	20020904
BG 107583	A	20040130	BG 2003-107583	20030224
BG 107584	A	20040130	BG 2003-107584	20030224
IN 2003CH00968	A	20051230	IN 2003-CH968	20031125
PRAI DK 2000-1231	A	20000818		
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WO 2001-DK542	W	20010814		
HK 2002-106522	A	20020904		
OS CASREACT 137:78852				
GI				



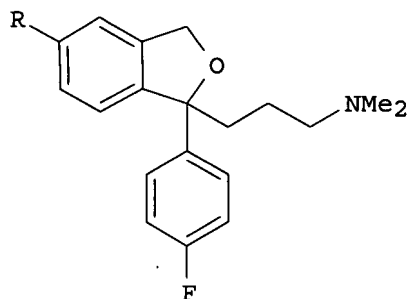
II

AB Citalopram (I) was prepared by reaction of 5-carboxyphthalide and Grignard derivs. of 4-halofluorobenzenes and 3-dimethylaminopropyl halides to give diol intermediate (II) followed by cyclization of II and conversion of the resulting carboxycitalopram to I. Thus, 5-carboxyphthalide in THF was treated sequentially with tetramethylethylenediamine, p-fluorophenylmagnesium bromide and MgBr<sub>2</sub> in THF, and 3-dimethylaminopropylmagnesium bromide in THF/heptane to give 5-carboxycitalopram of >80% purity. The latter was heated with sulfamide and SOCl<sub>2</sub> in sulfolane for 2 h at 130° to give citalopram of >97% purity.

L1 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2001:592319 CAPLUS  
 Correction of: 2001:386023  
 DN 135:137393  
 Correction of: 134:353251  
 TI Method for the preparation of citalopram  
 IN Petersen, Hans; Rock, Michael Harold  
 PA H Lundbeck A/S, Den.  
 SO Brit. UK Pat. Appl., 15 pp.  
 CODEN: BAXXDU  
 DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2354240	A	20010321	GB 2001-1508	19991119
	GB 2354240	B	20010523		
	IT 99MI1579	A1	20010115	IT 1999-MI1579	19990715
	WO 2000011926	A2	20000309	WO 1999-DK643	19991119
	WO 2000011926	A3	20000629		
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	JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,				
	MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,				
	TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ,				
	MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE,				
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	MR, NE, SN, TD, TG				
	EP 1105382	A2	20010613	EP 1999-968206	19991119
	EP 1105382	B1	20020213		
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	IE, SI, LT, LV, FI, RO				
	DE 19983486	T0	20011018	DE 1999-19983486	19991119
	DE 19983486	C2	20020905		
	AU 2001100433	A4	20011101	AU 2001-2001100433	19991119
	AU 2001100433	B4	20020117		
	HU 200103417	A2	20020128	HU 2001-3417	19991119
	AT 213237	T	20020215	AT 1999-968206	19991119
	BR 9917367	A	20020305	BR 1999-17367	19991119
	AT 9909040	A	20020515	AT 1999-9040	19991119
	AT 409960	B	20021227		
	TR 200103700	T2	20020521	TR 2001-200103700	19991119
	JP 2002523432	T	20020730	JP 2000-567065	19991119
	JP 3389571	B2	20030324		
	PT 1105382	T	20020731	PT 1999-968206	19991119
	ES 2172356	T3	20020916	ES 1999-968206	19991119
	CZ 292174	B6	20030813	CZ 2001-319	19991119
	CN 1129593	B	20031203	CN 1999-816768	19991119
	NZ 514982	A	20040130	NZ 1999-514982	19991119
	CA 2290125	A1	20001225	CA 1999-2290125	19991122
	CA 2290125	C	20040810		
	NO 2001000318	A	20010220	NO 2001-318	20010119
	SE 2001000194	A	20010425	SE 2001-194	20010124
	SE 516689	C2	20020212		
	FI 2001000154	A	20010209	FI 2001-154	20010125
	FI 108538	B1	20020215		
	IN 2001CN00149	A	20050304	IN 2001-CN149	20010201
	ZA 2001007956	A	20020927	ZA 2001-7956	20010927
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	US 6750358	B2	20040615		
	BG 106190	A	20020830	BG 2001-106190	20011207
	ZA 2002005023	A	20030623	ZA 2002-5023	20020621
	HK 1047745	A1	20040910	HK 2002-109330	20021224
PRAI	DK 1999-921	A	19990625		
	WO 1999-DK643	W	19991119		
OS	CASREACT 135:137393; MARPAT 135:137393				
GI					



AB A method for preparing the antidepressant, citalopram [I; R = CN], by reacting an isobenzofuranpropanamine [I; R = Cl or Br] with a cyanide source in the presence of a nickel catalyst is presented. Citalopram is produced in high yield as a very pure product using this catalytic process. Thus, sequential addition of I (R = Cl) and NaCN to the Ni catalyst formed by reflux of NiCl<sub>2</sub> with PPh<sub>3</sub> in AcCN in the presence of a catalytic amount of Zn, followed by workup and treatment with oxalic acid, gave citalopram oxalate in 55% yield.

L1 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:526066 CAPLUS

DN 135:107242

TI Method for the preparation of citalopram

IN Rock, Michael Harold; Ahmadian, Haleh

PA H. Lundbeck A/S, Den.

SO PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DT Patent

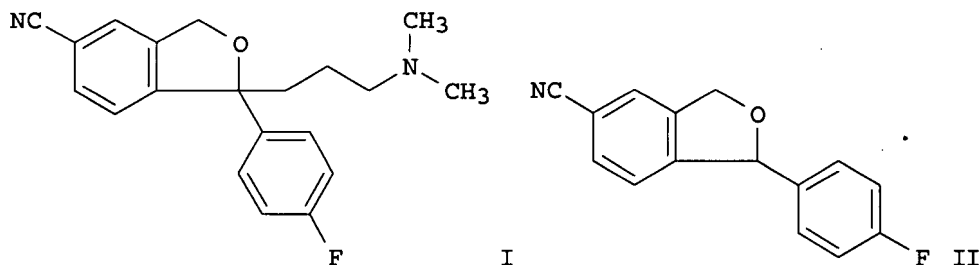
LA English

FAN.CNT 1

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PI	WO 2001051478	A1	20010719	WO 2001-DK140	20010301
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	NL 1017417	C1	20010316	NL 2001-1017417	20010221
	CA 2401374	A1	20010719	CA 2001-2401374	20010301
	EP 1263750	A1	20021211	EP 2001-911454	20010301
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	HU 200300060	A2	20030528	HU 2003-60	20010301
	BR 2001009022	A	20030603	BR 2001-9022	20010301
	JP 2003519692	T	20030624	JP 2001-551860	20010301
	NZ 521200	A	20040326	NZ 2001-521200	20010301
	BE 1011481	A6	20010703	BE 2001-143	20010302
	FR 2805814	A1	20010907	FR 2001-2896	20010302
	GR 1003795	B1	20020208	GR 2001-100106	20010305
	ZA 2002006846	A	20030827	ZA 2002-6846	20020827
	US 2003092761	A1	20030515	US 2002-232994	20020829
	US 6768011	B2	20040727		
	NO 2002004180	A	20020902	NO 2002-4180	20020902
	BG 107050	A	20030530	BG 2002-107050	20020902
	IN 2002CN01544	A	20050128	IN 2002-CN1544	20020925



PRAI DK 2000-353 A 20000303  
 WO 2001-DK140 W 20010301  
 OS CASREACT 135:107242; MARPAT 135:107242  
 GI



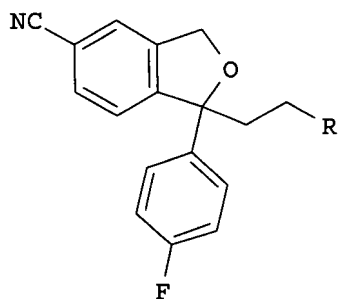
AB The antidepressant compound citalopram (I) is prepared by the reaction of a dihydrobenzofuran (II) with  $R(CH_2)_3R_1$  ( $R$  = halogen,  $OSO_2X$ ;  $X$  = alkyl, alkenyl, aryl arylalkyl;  $R_1$  = dimethylamino, halogen  $OSO_2X$ ; such that  $R \neq R_1 = NMe_2$ ) followed by isolation of citalopram base or a pharmaceutically acceptable acid addition salt (e.g., citalopram oxalate).

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2001:452790 CAPLUS  
 DN 135:61223  
 TI Preparation of citalopram from 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile.  
 IN Rock, Michael Harold; Ahmadian, Haleh  
 PA H. Lundbeck A/S, Den.  
 SO PCT Int. Appl., 29 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001043525	A2	20010621	WO 2001-DK123	20010222
WO 2001043525	A3	20020131		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PT 1173431	T	20030930	PT 1999-913120	19990414
ES 2195554	T3	20031201	ES 1999-913120	19990414
NL 1017414	C1	20010315	NL 2001-1017414	20010221
NL 1017415	C1	20010518	NL 2001-1017415	20010221
FR 2805812	A1	20010907	FR 2001-2339	20010221
FR 2805813	A1	20010907	FR 2001-2341	20010221
BE 1012921	A6	20010508	BE 2001-118	20010222
CA 2401236	A1	20010621	CA 2001-2401236	20010222
AU 200135358	A	20010625	AU 2001-35358	20010222
CA 2400682	A1	20010830	CA 2001-2400682	20010222
WO 2001062754	A1	20010830	WO 2001-DK122	20010222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

	CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,		
	HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,		
	LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,		
	SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,		
	YU, ZA, ZW		
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,		
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,		
	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
GR	2001100097	A	20011031
GR	2001100098	A	20011031
GR	1004073	B2	20021126
EP	1259500	A1	20021127
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,		
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
EP	1259501	A2	20021127
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,		
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
HU	200300078	A2	20030528
BR	2001008947	A	20030603
BR	2001008937	A	20030617
HU	200300212	A2	20030628
JP	2003523955	T	20030812
JP	2003524009	T	20030812
CN	1608057	A	20050420
BE	1011177	A6	20010703
US	2001027256	A1	20011004
US	6420574	B2	20020716
US	2002004604	A1	20020110
GR	2001100123	A	20021122
GR	1004072	B2	20021202
ZA	2002006255	A	20031020
NO	2002003928	A	20020819
BG	107015	A	20030530
ZA	2002006699	A	20031121
NO	2002004007	A	20021007
US	2003083508	A1	20030501
ZA	2002006899	A	20030828
BG	107061	A	20030530
IN	2002CN01483	A	20050128
IN	2002CN01512	A	20050128
US	2003114692	A1	20030619
HK	1054378	A1	20050429
PRAI	DK 2000-296	A	20000224
	DK 2000-401	A	20000313
	EP 1999-913120	A	19990414
	WO 2001-DK122	W	20010222
	WO 2001-DK123	W	20010222
	US 2001-794755	A1	20010226
OS	CASREACT 135:61223; MARPAT 135:61223		
GI			



II

AB Citalopram was prepared by reaction of 1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile (I) with  $XCH_2CH_2R$  ( $X$  = leaving group;  $R$  =  $CH_2OPg$ ,  $CH_2NPg_1Pg_2$ ,  $CONMe_2$ , etc.;  $Pg$ ,  $Pg_1$ ,  $Pg_2$  = protecting group) to give intermediate (II) followed by conversion of the  $R$  group to form a dimethylaminomethyl group and isolation. Thus, I in THF was added to LDA in THF at  $-78^\circ$  followed by stirring for 30 min;  $PhCH_2O(CH_2)_3Br$  in THF was added followed by warming to room temperature and stirring for 2 h to give 60% 1-[(3-benzyloxy)propyl]-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile. The latter was refluxed 2 days with 1,4-cyclohexadiene and Pd/C in EtOH to give 80% 1-(4-fluorophenyl)-1-(3-hydroxypropyl)-1,3-dihydroisobenzofuran-5-carbonitrile. This was converted to the tosylate (42%) which was heated with  $Et_3N$  and  $Me_2NH \cdot HCl$  in DMF at  $70^\circ$  overnight to give 70% citalopram as the oxalate.

=>

1 S ESCITALOPRAM/CN  
L6 1 S ESCITALOPRAM OXALATE/CN

FILE 'REGISTRY' ENTERED AT 17:26:48 ON 10 MAY 2007

FILE 'STNGUIDE' ENTERED AT 17:27:28 ON 10 MAY 2007

FILE 'ZCAPLUS' ENTERED AT 17:29:52 ON 10 MAY 2007

L7 72 S L6  
L8 2 S L7 AND (CRYSTL? OR POLYMORPH? OR (X (W)RAY))

=> s 17 not 18  
L9 70 L7 NOT L8

=> s 19 and wo2003011278/pn  
1 WO2003011278/PN  
(WO2003011278/PN)  
L10 1 L9 AND WO2003011278/PN

=> fil stng

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	16.15	94.29
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-13.26

FILE 'STNGUIDE' ENTERED AT 17:33:37 ON 10 MAY 2007  
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: May 4, 2007 (20070504/UP).

=> fil zcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.30	94.59
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-13.26

FILE 'ZCAPLUS' ENTERED AT 17:36:46 ON 10 MAY 2007  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 10 May 2007 VOL 146 ISS 20

.FILE LAST UPDATED: 9 May 2007 (20070509/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7 and (acetone or (ethyl(w)acetate) or methanol or isoprop? or acetonitrile)  
176771 ACETONE  
470618 ETHYL  
535466 ACETATE  
27387 ETHYL(W)ACETATE  
209619 METHANOL  
205255 ISOPROP?  
86795 ACETONITRILE  
L11 13 L7 AND (ACETONE OR (ETHYL(W)ACETATE) OR METHANOL OR ISOPROP? OR  
ACETONITRILE)

=> s l11 not l8  
L12 12 L11 NOT L8

=> d bib hit 1-12

L12 ANSWER 1 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN  
AN 2007:341094 ZCAPLUS  
TI Determination of citalopram and escitalopram together with their active  
main metabolites desmethyl(es-)citalopram in human serum by  
column-switching high performance liquid chromatography (HPLC) and  
spectrophotometric detection  
AU Greiner, Christine; Hiemke, Christoph; Bader, Wolfgang; Haen, Ekkehard  
CS Clinical Pharmacology/Psychopharmacology of the Department of Psychiatry,  
Psychosomatic and Psychotherapy of the University of Regensburg,  
Regensburg, D-93053, Germany  
SO Journal of Chromatography, B: Analytical Technologies in the Biomedical  
and Life Sciences (2007), 848(2), 391-394  
CODEN: JCBAAI; ISSN: 1570-0232  
PB Elsevier B.V.  
DT Journal  
LA English  
AB We established a method for automated quant. anal. of (es-)citalopram and  
desmethyl(es-)citalopram in serum using column-switching high performance  
liquid chromatog. (HPLC). For sample clean-up serum was injected onto a  
LiChrospher CN 20 µm precolumn using 8% acetonitrile in  
deionized water. Drugs were eluted by back-flush flow onto the anal.  
column (LiChrospher CN 5 µm) at a flow rate of 1.5 mL/min with  
phosphate buffer 8 mmol/l pH 6.4/acetonitrile (50/50,  
volume/volume). Haloperidol was used as internal standard. Analytes were  
detected  
by UV spectrophotometry at 210 nm. Detection limit of (es-)citalopram was  
6 ng/mL. The method was found to be suitable for therapeutic drug  
monitoring of patients treated with citalopram or escitalopram.  
IT 59729-32-7, Citalopram hydrobromide 97743-99-2, lu-11-109-c  
219861-08-2, Escitalopram oxalate  
RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL  
(Biological study); USES (Uses)  
(citalopram, (es-)citalopram and metabolite determination in human serum by  
HPLC and spectrophotometric detection)  
L12 ANSWER 2 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN  
AN 2007:115433 ZCAPLUS  
DN 146:206191  
TI An improved process for preparation of escitalopram

IN Kaushik, Vipin Kumar; Khan, Mohammed Umar; Meenakshisunderam, Sivakumaran  
 PA Aurobindo Pharma Limited, India  
 SO PCT Int. Appl., 18pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007012954	A1	20070201	WO 2006-IB2050	20060720
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRAI IN 2005-CH1014 A 20050727

OS CASREACT 146:206191

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 219861-08-2P, Escitalopram oxalate  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of escitalopram)

IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0, Isopropanol, uses 71-36-3, n-Butanol, uses 75-05-8, Acetonitrile, uses 75-09-2, Methylene chloride, uses 78-83-1, Iso-Butanol, uses 108-88-3, Toluene, uses 109-99-9, THF, uses 110-82-7, Cyclohexane, uses  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (preparation of escitalopram)

L12 ANSWER 3 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1065915 ZCAPLUS

DN 145:418932

TI Process for the preparation of Escitalopram or its acid addition salts from racemic diol precursors by resolution and cyclization.

IN Goankar, Santosh Laxman; Das, Prasenjit Prafulla; Narahari Babu, Ambati; Manjunatha, Sulur G.

PA Jubilant Organosys Ltd., India

SO PCT Int. Appl., 25pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006106531	A1	20061012	WO 2006-IN124	20060404
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM

IN 2005DE00856 A 20070105 IN 2005-DE856 20050404  
PRAI IN 2005-DE856 A 20050404

OS MARPAT 145:418932

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 219861-08-2P, Escitalopram oxalate  
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN  
(Synthetic preparation); PREP (Preparation)  
(preparation of Escitalopram or its acid addition salts from racemic diol  
precursors by resolution and cyclization)  
IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0,  
Isopropanol, uses 67-64-1, Acetone, uses 75-05-8,  
Acetonitrile, uses 87-69-4, Tartaric acid, uses 141-78-6,  
Ethyl acetate, uses 2743-38-6 5872-08-2,  
10-Camphorsulfonic acid 32634-66-5 35296-72-1, Butanol  
RL: NUU (Other use, unclassified); USES (Uses)  
(preparation of Escitalopram or its acid addition salts from racemic diol  
precursors by resolution and cyclization)

L12 ANSWER 4 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:213262 ZCAPLUS

DN 144:292567

TI Process for preparation of escitalopram

IN Pulla Reddy, Muddasani; Sambasiva Rao, Talasila; Venkaiah Chowdary,  
Nannapaneni

PA Natco Pharma Limited, India

SO PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006025071	A1	20060309	WO 2005-IN282	20050823
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

IN 2004CH00885 A 20060929 IN 2004-CH885 20040902

PRAI IN 2004-CH885 A 20040902

OS CASREACT 144:292567

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 128196-01-0P, Escitalopram 219861-08-2P 878655-31-3P  
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)

(preparation of escitalopram)

IT 60-29-7, Ether, uses 64-17-5, Ethanol, uses 67-56-1, Methanol  
, uses 67-63-0, Isopropanol, uses 67-64-1, Acetone  
, uses 68-12-2, DMF, uses 75-05-8, Acetonitrile, uses

75-09-2, Dichloromethane, uses 96-47-9, 2-Methyltetrahydrofuran  
108-20-3, Diisopropyl ether 108-88-3, Toluene, uses 109-99-9, THF,  
uses 110-82-7, Cyclohexane, uses 110-86-1, Pyridine, uses 123-91-1,  
1,4-Dioxane, uses 127-19-5, DMAC 141-78-6, Ethyl  
acetate, uses 872-50-4, N-Methyl-2-pyrrolidone, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(preparation of escitalopram)

L12 ANSWER 5 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:152349 ZCAPLUS

DN 144:199125

TI Liquid chromatography determination of citalopram enantiomers using  
 $\beta$ -cyclodextrin as a chiral mobile phase additive

AU El-Gindy, Alaa; Emara, Samy; Mesbah, Mostafa K.; Hadad, Ghandi M.

CS Faculty of Pharmacy, Pharmaceutical Analytical Chemistry Department, Suez  
Canal University, Ismailia, 41522, Egypt

SO Journal of AOAC International (2006), 89(1), 65-70

CODEN: JAINEE; ISSN: 1060-3271

PB AOAC International

DT Journal

LA English

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB A reliable and specific method for the determination of citalopram enantiomers  
was

developed and validated. Chromatog. resolution of citalopram enantiomers was  
made on a Shim-pack (5  $\mu$ m particle size) cyanopropyl column with  
 $\beta$ -cyclodextrin ( $\beta$ -CD) as an effective chiral mobile phase  
additive. The composition of the mobile phase was (90 + 10, volume/volume)

aqueous

0.1% triethylammonium acetate buffer, pH 4.0 (adjusted with acetic acid),  
and acetonitrile, containing 12 mM  $\beta$ -CD. The flow rate was 0.8  
mL/min with UV detection at 240 nm. The effects of the mobile phase  
composition, concentration of  $\beta$ -CD, and pH of the triethylammonium acetate

buffer

on peak shape and resolution of the enantiomers were investigated. The  
calibration graphs were linear ( $r = 0.9999$ ,  $n = 8$ ) in the range of 1-40  
 $\mu$ g/mL for S-(+) citalopram and R-(-) citalopram. The limit of  
detection values were  $5.51 \pm 10^{-3}$  and  $4.35 \pm 10^{-3}$   $\mu$ g/mL,  
while the limit of quantification values were found to be  $1.84 \pm$   
 $10^{-2}$  and  $1.45 \pm 10^{-2}$   $\mu$ g/mL for S-(+) citalopram and R-(-)  
citalopram, resp.

IT 59729-32-7, Cipram 59729-33-8, Citalopram 219861-08-2,  
Cipralelex

RL: ANT (Analyte); PRP (Properties); THU (Therapeutic use); ANST  
(Analytical study); BIOL (Biological study); USES (Uses)

(liquid chromatog. determination of citalopram enantiomers with  
 $\beta$ -cyclodextrin)

L12 ANSWER 6 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1200925 ZCAPLUS

DN 143:446803

TI Oral formulation for sustained delivery antidepressants

IN Challapalli, Prasad V. N.; Gumudavelli, Peddanna; Murty, Ram B.

PA USA

SO U.S. Pat. Appl. Publ., 24 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE



PI US 2005250838 A1 20051110 US 2005-122189 20050504  
 PRAI US 2004-568376P P 20040504  
 IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0,  
 Isopropanol, uses  
 RL: NUU (Other use, unclassified); PEP (Physical, engineering or chemical  
 process); PYP (Physical process); PROC (Process); USES (Uses)  
 (oral formulation for sustained delivery antidepressants)  
 IT 31677-93-7, Bupropion hydrochloride 34911-55-2, Bupropion 54910-89-3,  
 Fluoxetine 56296-78-7, Fluoxetine hydrochloride 59729-32-7, Citalopram  
 hydrobromide 59729-33-8, Citalopram 61869-08-7, Paroxetine  
 79559-97-0, Sertraline hydrochloride 79617-96-2, Sertraline  
 93413-69-5, Venlafaxine 99300-78-4, Venlafaxine hydrochloride  
 116539-59-4, Duloxetine 128196-01-0, Escitalopram 136434-34-9,  
 Duloxetine hydrochloride 219861-08-2, Escitalopram oxalate  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (oral formulation for sustained delivery antidepressants)

L12 ANSWER 7 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:1075785 ZCAPLUS  
 DN 143:347046  
 TI Preparation of crystalline citalopram diol intermediate  
 IN Mei, Runan; Guo, Dianwu; Wang, Shulong  
 PA Hangzhou Minsheng Pharmaceutical Co., Ltd, Peop. Rep. China  
 SO PCT Int. Appl., 30 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Chinese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005092875	A1	20051006	WO 2004-CN1418	20041206
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CN 1629153	A	20050622	CN 2004-10044335	20040526
	EP 1700851	A1	20060913	EP 2004-802432	20041206
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
PRAI	CN 2003-10123623	A	20031219		
	CN 2004-10044335	A	20040526		
	WO 2004-CN1418	W	20041206		

OS MARPAT 143:347046

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 108-20-3,  
 Isopropyl ether 110-54-3, Hexane, uses 7732-18-5, Water, uses  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (preparation of citalopram diol intermediate)  
 IT 59729-32-7P, Citalopram hydrobromide 128196-02-1P 219861-08-2P  
 481047-48-7P 488787-59-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of citalopram diol intermediate)

L12 ANSWER 8 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:529087 ZCAPLUS  
 DN 143:393263  
 TI Chiral Separation of Citalopram Hydrobromide Enantiomers and ee of Escitalopram Oxalate  
 AU Pan, Hongjuan; Zhu, Xueyan  
 CS Shanghai Institute of Pharmaceutical Industry, Shanghai, 200040, Peop. Rep. China  
 SO Zhongguo Yiyao Gongye Zazhi (2004), 35(8), 484-485  
 CODEN: ZYGZEA; ISSN: 1001-8255  
 PB Zhongguo Yiyao Gongye Zazhi Bianjibu  
 DT Journal  
 LA Chinese  
 AB An HPLC method for chiral separation of citalopram hydrobromide enantiomers and optical purity detection of escitalopram oxalate was established. A Chiralpak AD-H chiral column was used with the mobile phase of n-hexane-isopropylalc.-diethylamine (95:5:0.1). The column temperature was 25 degree C, and the detection wavelength was 240 nm. The average resolution between S-(+)-and R-(-)-citalopram was 2.47. The R-(-)-citalopram content was less than 1.0. The ee of escitalopram oxalate was more than 98.0%.  
 IT 59729-32-7P, Citalopram hydrobromide 219861-08-2P, Escitalopram oxalate  
 RL: ANT (Analyte); PUR (Purification or recovery); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (chiral separation of citalopram hydrobromide enantiomers and ee of escitalopram oxalate)

L12 ANSWER 9 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN  
 AN 2005:451372 ZCAPLUS  
 DN 142:481937  
 TI Preparation of enantiomerically enriched escitalopram  
 IN Sundaram, Venkataraman; Mathad, Vijayavithal Thippannachar; Venkavala, Pravinachandra Jayanthilal; Elati, Chandrashekar Ravirama; Kolla, Naveenkumar; Govindan, Shanmugam; Chalamala, Subrahmanyeshwara Rao; Gangula, Srinivas  
 PA Reddy's Laboratories, Inc., USA; Reddy's Laboratories Ltd.  
 SO PCT Int. Appl., 42 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005047274	A1	20050526	WO 2004-US38490	20041112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2004CH00370	A	20070223	IN 2004-CH370	20040422
CA 2575975	A1	20050526	CA 2004-2575975	20041112
EP 1706394	A1	20061004	EP 2004-811264	20041112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
PRAI IN 2003-CH924	A	20031112		

IN 2004-CH370 A 20040422  
US 2004-598725P P 20040804  
WO 2004-US38490 W 20041112

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT 64-18-6, Formic acid, uses 67-56-1, Methanol, uses 67-68-5,  
DmsO, uses 108-88-3, Toluene, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(preparation of enantiomerically enriched escitalopram)  
IT 62498-68-4P, 1-(3-(Methylamino)propyl)-1-(4-fluorophenyl)-1,3-  
dihydroisobenzofuran-5-carbonitrile oxalate 128196-02-1P,  
(-)-(R)-1-(3-(Dimethylamino)propyl)-1-(4-fluorophenyl)-1,3-  
dihydroisobenzofuran-5-carbonitrile 219861-08-2P,  
(+)-(S)-1-(3-(Dimethylamino)propyl)-1-(4-fluorophenyl)-1,3-  
dihydroisobenzofuran-5-carbonitrile oxalate 852172-06-6P 852172-07-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of enantiomerically enriched escitalopram)

L12 ANSWER 10 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:31412 ZCAPLUS

DN 142:141428

TI Quantitative analysis of S-citalopram oxalate by chiral liquid  
chromatography

AU Yang, Xue-mei; Liu, Xu; Yan, Yi-chen; Xu, Jiang-ping

CS Department of Chemistry, First Military Medical University, Guangzhou,  
510515, Peop. Rep. China

SO Zhongguo Xinyao Zazhi (2004), 13(11), 1020-1021

CODEN: ZXZHA6; ISSN: 1003-3734

PB Zhongguo Xinyao Zazhishe

DT Journal

LA Chinese

AB An HPLC method for the separation of enantiomers of citalopram oxalate and  
determination of S-citalopram was presented. The chromatog. conditions were as-  
follows: a Chirobiotic V column (250mm d 4.6mm, 5µm), methanol  
-acetic acid-triethylamine (100:0.1:0.1) as a mobile phase, detection  
wavelength at 240 nm, the column temperature at 20°C and flow rate at 1.0  
mL/min. The enantiomers of citalopram oxalate was successfully separated  
The linearity of S-citalopram was 10-150 µg/mL with a regression coefficient  
at 0.999 1 (n=5). Conclusion: This quant. anal. of S-citalopram oxalate  
is attained.

IT 207559-01-1 219861-08-2 219861-53-7

RL: ANT (Analyte); ANST (Analytical study)

(resolution of citalopram oxalate by chiral HPLC)

L12 ANSWER 11 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:916883 ZCAPLUS

DN 142:85782

TI Liquid chromatography-electrospray ionisation mass spectrometry method for  
the determination of escitalopram in human plasma and its application in  
bioequivalence study

AU Singh, Sonu Sundd; Shah, Hiten; Gupta, Sapna; Jain, Manish; Sharma,  
Kuldeep; Thakkar, Purav; Shah, Ruchy

CS Biomedical and DMPK Department, Zydus Research Center, Ahmedabad, 382213,  
India

SO Journal of Chromatography, B: Analytical Technologies in the Biomedical  
and Life Sciences (2004), 811(2), 209-215

CODEN: JCBAAI; ISSN: 1570-0232

PB Elsevier B.V.

DT Journal

LA English

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB A novel liquid chromatog.-electrospray ionization mass spectrometric (LC-ESI-MS) method has been developed for the determination of escitalopram, an antidepressant in human plasma using paroxetine as internal standard. The method involved liquid-liquid extraction of the analyte from human plasma with

a

mixture of di-Et ether and dichloromethane (70:30, volume/volume). The chromatog. separation was achieved within 7.0 min by using 2.0 mM ammonium acetate (pH 5.0)-acetonitrile (54:46, volume/volume) as mobile phase and a ODS YMC AQ 150 mm + 4.6 mm anal. column; the flow-rate was 1.0 mL/min. Ion signals m/z 325.0 and 330.0 for escitalopram and internal standard, were measured in the pos. mode. A detailed validation of the method was performed as per USFDA guidelines and the standard curves were found to be linear in the range of 1.0-200 ng/mL with a mean correlation coefficient more than 0.99. The absolute recovery was more than 75% for both escitalopram and internal standard. The method was simple, sensitive, precise, accurate and was successfully applied to the bioequivalence study of escitalopram in healthy, male, human subjects.

IT 219861-08-2, Lexapro

RL: ANT (Analyte); PKT (Pharmacokinetics); ANST (Analytical study); BIOL (Biological study)

(escitalopram oxalate; LC-ESI-MS method for determination of escitalopram in human plasma and its application in bioequivalence study)

L12 ANSWER 12 OF 12 ZCAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:837069 ZCAPLUS

DN 139:337880

TI Preparation of escitalopram via the chiral enriched diol monoesters of (4-bromo-2-(hydroxymethyl)phenyl)-(4-fluorophenyl)methanol

IN Tse, Hoi Lun Allan

PA Torcan Chemical Ltd., Can.

SO PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003087081	A1	20031023	WO 2003-CA522	20030408
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2381341	A1	20031009	CA 2002-2381341	20020409
	AU 2003218575	A1	20031027	AU 2003-218575	20030408
	EP 1495013	A1	20050112	EP 2003-711761	20030408
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	US 2006009515	A1	20060112	US 2005-510890	20050311
PRAI	CA 2002-2381341	A	20020409		
	WO 2003-CA522	W	20030408		

OS CASREACT 139:337880

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Preparation of escitalopram via the chiral enriched diol monoesters of (4-bromo-2-(hydroxymethyl)phenyl)-(4-fluorophenyl)methanol

AB Preparation of escitalopram (I) via the chiral enriched monoacetate ester of

(4-bromo-2-(hydroxymethyl)phenyl)-(4-fluorophenyl)methanol (II) was disclosed. For example, a racemic mixture of monoacetate ester II (13.52 g) and (+)-di-p-toluoyl tartaric acid (11.92 g) in acetone (135 mL) was heated at reflux until a pale brown solution was obtained. The solution was cooled, the acetone removed under vacuum and the resulting brown foam recrystd. from acetone-hexane (2:1) to afford the (+)-di-p-toluoyl tartaric acid salt of monoacetate ester II with a diastereomeric ratio of 97:3. Of note, the claimed (+)-di-p-toluoyl tartaric acid salt of monoacetate ester II was converted to escitalopram oxalate in 4-steps with  $[\alpha]_D = +10.1^\circ$  (at  $20^\circ\text{C}$ ,  $c$  0.95 in MeOH).

IT 128196-01-0P, Escitalopram 219861-08-2P, Escitalopram oxalate  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(target compound; preparation of escitalopram via a chiral enriched diol monoester intermediate)